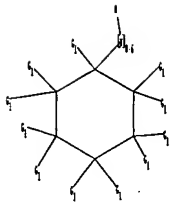
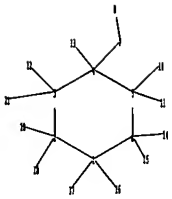
```
     (FILE 'HOME' ENTERED AT 09:08:07 ON 15 FEB 2007)

     FILE 'REGISTRY' ENTERED AT 09:08:11 ON 15 FEB 2007
L1             STRUCTURE UPLOADED
L2           50 S L1
L3             STRUCTURE UPLOADED
L4           50 S L3
L5       282353 S L3 SSS FULL

     FILE 'CAPLUS' ENTERED AT 09:12:03 ON 15 FEB 2007
L6         9905 S L5/THU
L7          997 S L6 AND ALZHEIME?
L8           17 S L7 AND ACETYLCHOLINESTERASE
L9            0 S L8 NOT PY>2002
L10          78 S L7 NOT PY>2002
L11            STRUCTURE UPLOADED

     FILE 'REGISTRY' ENTERED AT 09:18:27 ON 15 FEB 2007
L12          50 S L11
L13       38624 S L11  SUB=L5 FULL

     FILE 'CAPLUS' ENTERED AT 09:19:20 ON 15 FEB 2007
L14        1791 S L13/THU
L15         161 S L14 AND ALZHEIME?
L16           9 S L15 NOT PY>2002

     FILE 'USPATFULL' ENTERED AT 09:20:28 ON 15 FEB 2007
L17        3224 S L13
L18           0 S L17 AND ALZHEIE?
L19           0 S L17 AND ALZHIE?
L20         677 S L17 AND ALZHEIME?
L21         228 S L20 NOT PY>2003
L22           6 S L21 AND ACETYLCHOLINESTERASE

     FILE 'REGISTRY' ENTERED AT 09:42:54 ON 15 FEB 2007
L23            STRUCTURE UPLOADED
L24          50 S L23
L25            STRUCTURE UPLOADED
L26            STRUCTURE UPLOADED
L27            STRUCTURE UPLOADED
L28          50 S L27

     FILE 'CAPLUS' ENTERED AT 09:51:56 ON 15 FEB 2007
L29           0 S AMINOCYCLOHEXAME
L30         600 S AMINOCYCLOHEXANE
L31        4104 S AMINOCYCLOHEX?
L32         106 S L31 AND ALZHEIM?
L33          11 S L32 NOT PY>2002

     FILE 'REGISTRY' ENTERED AT 10:00:16 ON 15 FEB 2007

     FILE 'STNGUIDE' ENTERED AT 10:00:29 ON 15 FEB 2007

     FILE 'REGISTRY' ENTERED AT 10:00:39 ON 15 FEB 2007
L34            STRUCTURE UPLOADED
L35          50 S L34 SSS SAM

     FILE 'CAPLUS' ENTERED AT 10:08:55 ON 15 FEB 2007
L36           5 S NMDA AND ALZHEIMER? AND AMINOCYCLOHEX?
L37           0 S 5HT3 AND ALZHEIMER? AND AMINOCYCLOHEX?
L38           1 S SEROTONIN AND ALZHEIMER? AND AMINOCYCLOHEX?
L39         925 S NMDA AND ALZHEIMER?
L40         389 S L39 NOT PY>2002
```

```
L41          170 S L40 AND ANTAGON?
L42           50 S L41 AND GLUTAMATERGIC
L43           21 S 5HT3 AND ALZHEIMER?

     FILE 'REGISTRY' ENTERED AT 10:45:53 ON 15 FEB 2007
L44            1 S NERAMEXANE/CN

     FILE 'CAPLUS' ENTERED AT 10:46:07 ON 15 FEB 2007
L45           37 S L44
L46           35 S L44/THU
L47            3 S L46 NOT PY>2002

     FILE 'USPATFULL' ENTERED AT 10:47:02 ON 15 FEB 2007
L48           18 S L44
L49            2 S L48 NOT PY>2003
L50            6 S L48 NOT PY>2004
```

```
=> file registry
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'REGISTRY' ENTERED AT 09:08:11 ON 15 FEB 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   14 FEB 2007  HIGHEST RN 921041-62-5
DICTIONARY FILE UPDATES:  14 FEB 2007  HIGHEST RN 921041-62-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

  Please note that search-term pricing does apply when
• conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10691895generic.str
```





chain nodes :
7 8 10 11 13 14 15 16 17 18 20 21 22
ring nodes :
1 2 3 4 5 6
chain bonds :
1-16 1-17 2-18 2-20 3-21 3-22 4-7 4-13 5-10 5-11 6-14 6-15 7-8
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-16 1-17 2-18 2-20 3-21 3-22 4-7 4-13 5-10 5-11 6-14 6-15 7-8
exact bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:C,H

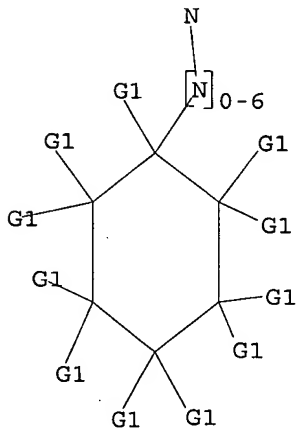
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS
13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 20:CLASS 21:CLASS
22:CLASS

L1 STRUCTURE UPLOADED

=> dl1
DL1 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,H

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 09:08:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 52289 TO ITERATE

3.8% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

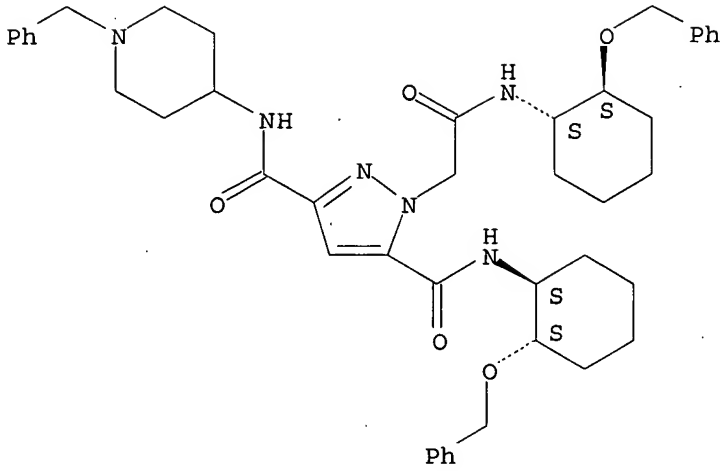
FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1032141 TO 1059419
PROJECTED ANSWERS: 284021 TO 298477

L2 50 SEA SSS SAM L1

=> d l2 scan

L2 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 1H-Pyrazole-3,5-dicarboxamide, 1-[2-oxo-2-[[(1S,2S)-2-(phenylmethoxy)cyclohexyl]amino]ethyl]-N5-[(1S,2S)-2-(phenylmethoxy)cyclohexyl]-N3-[1-(phenylmethyl)-4-piperidinyl]- (9CI)
MF C45 H56 N6 O5

Absolute stereochemistry.

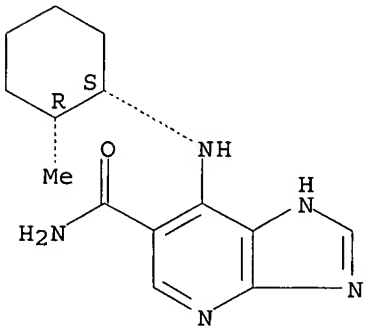


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

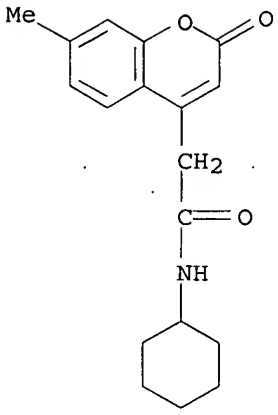
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L2 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C14 H19 N5 O

Absolute stereochemistry.



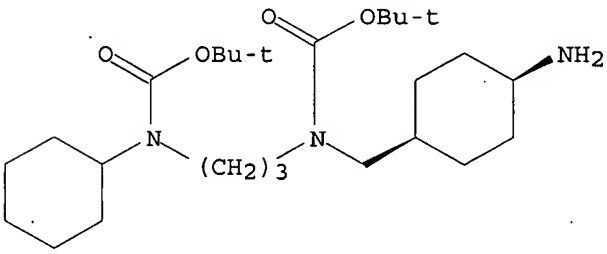
L2 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 2H-1-Benzopyran-4-acetamide, N-cyclohexyl-7-methyl-2-oxo-
MF C18 H21 N O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Carbamic acid, N-[(cis-4-aminocyclohexyl)methyl]-N-[3-[cyclohexyl[(1,1-dimethylethoxy)carbonyl]amino]propyl]-, 1,1-dimethylethyl ester
MF C26 H49 N3 O4

Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> log hold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.90	1.11

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 09:09:19 ON 15 FEB 2007

Connecting via Winsock to STN

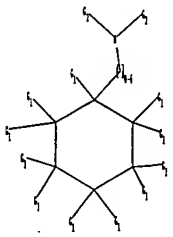
Welcome to STN International! Enter x:x

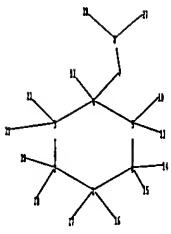
LOGINID:SSPTAEXO1623

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 09:10:51 ON 15 FEB 2007
FILE 'REGISTRY' ENTERED AT 09:10:51 ON 15 FEB 2007

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.90	1.11

=>
Uploading C:\Program Files\Stnexp\Queries\10691895generic2.str





chain nodes :
7 8 10 11 13 14 15 16 17 18 20 21 22 27 28
ring nodes :
1 2 3 4 5 6

chain bonds :
1-16 1-17 2-18 2-20 3-21 3-22 4-7 4-13 5-10 5-11 6-14 6-15 7-8 8-27
8-28
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-16 1-17 2-18 2-20 3-21 3-22 4-13 5-10 5-11 6-14 6-15 7-8 8-27 8-28

exact bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6
isolated ring systems :
containing 1 :

G1:C,H

G2:Ak,H

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS
13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 20:CLASS 21:CLASS
22:CLASS 27:CLASS
28:CLASS

L3 STRUCTURE UPLOADED

=> s l3
SAMPLE SEARCH INITIATED 09:11:06 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 64958 TO ITERATE

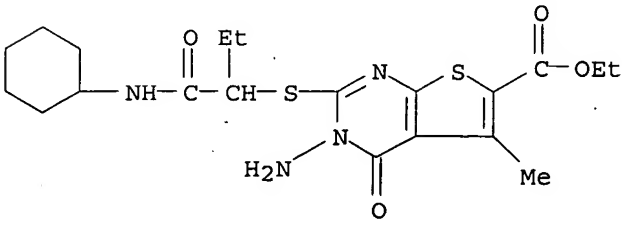
3.1% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1283979 TO 1314341
PROJECTED ANSWERS: 347339 TO 363301

L4 50 SEA SSS SAM L3

=> d l4 scan

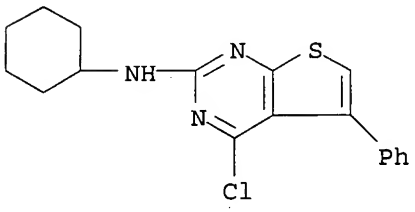
L4 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C20 H28 N4 O4 S2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

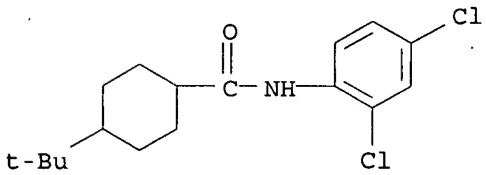
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):4

L4 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C18 H18 Cl N3 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

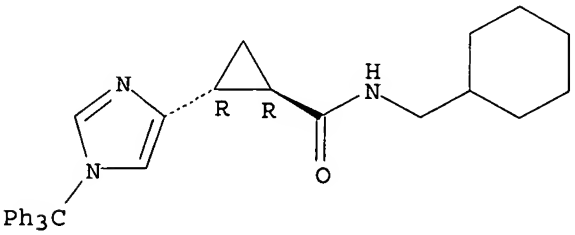
L4 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C17 H23 Cl2 N O



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Cyclopropanecarboxamide, N-(cyclohexylmethyl)-2-[1-(triphenylmethyl)-1H-imidazol-4-yl]-, (1R,2R)- (9CI)
MF C33 H35 N3 O

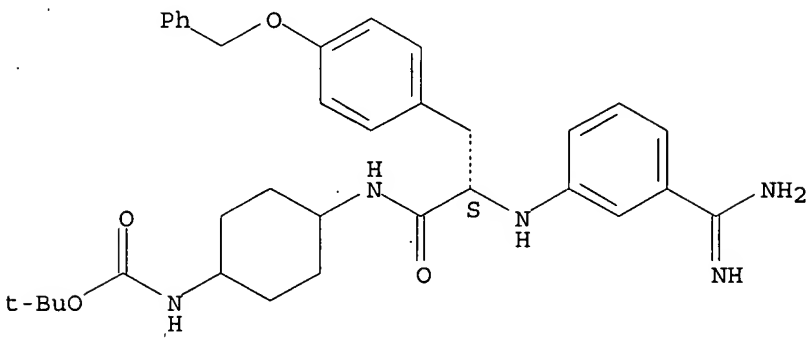
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C34 H43 N5 O4

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l3 sss full
FULL SEARCH INITIATED 09:11:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1303202 TO ITERATE

75.7% PROCESSED 986002 ITERATIONS 276639 ANSWERS

76.7% PROCESSED 1000000 ITERATIONS 282353 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.19

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1303202 TO 1303202
PROJECTED ANSWERS: 366147 TO 369779

L5 282353 SEA SSS FUL L3

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 173.45 173.66

FILE 'CAPLUS' ENTERED AT 09:12:03 ON 15 FEB 2007

FILE COVERS 1907 - 15 Feb 2007 VOL 146 ISS 8
FILE LAST UPDATED: 14 Feb 2007 (20070214/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

```
=> s l5/thu
         32126 L5
        856609 THU/RL
L6        9905 L5/THU
                (L5 (L) THU/RL)

=> s l6 and alzheime?
         43444 ALZHEIME?
L7         997 L6 AND ALZHEIME?

=> s l7 and acetylcholinesterase
         22852 ACETYLCHOLINESTERASE
L8          17 L7 AND ACETYLCHOLINESTERASE

=> s l8 not py>2002
       4909585 PY>2002
L9            0 L8 NOT PY>2002

=> s l7 not py>2002
       4909585 PY>2002
L10          78 L7 NOT PY>2002

=> d l10 1-20 ti

L10  ANSWER 1 OF 78  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Preparation of aminocyclohexyl ethers as ion channel modulating compounds

L10  ANSWER 2 OF 78  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Preparation of N-sulfonylated phenylalanine dipeptide derivatives as
     inhibitors of leukocyte adhesion mediated by VLA-4

L10  ANSWER 3 OF 78  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Preparation of spiropiperidine derivatives, nociceptin receptor
     antagonists containing the same as the active ingredient, and medicinal
     compositions

L10  ANSWER 4 OF 78  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Preparation of pyrrole derivatives as antiinflammatory agents, analgesics,
     antiallergic agents, etc.

L10  ANSWER 5 OF 78  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Preparation of N-benzothiophenylalkoxyalkyl-N-alkylamines and their salts
     having antihypoxia, nerve-protecting, and nerve-regenerating activity

L10  ANSWER 6 OF 78  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Preparation of N-(2-hydroxyphenylmethyl and 2-hydroxybenzylidene)hydrazine
     and -amine derivatives having Maillard reaction inhibitory activity

L10  ANSWER 7 OF 78  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Preparation of trans-1,4-diaminocyclohexanes for treatment of neurological
     disorders.
```

L10 ANSWER 8 OF 78 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of naphthalenesulfonamides as 5-HT6 receptor antagonists

L10 ANSWER 9 OF 78 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of tetrahydroisoquinolinesulfonamides as 5-HT6 receptor antagonists

L10 ANSWER 10 OF 78 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-substituted cyclic aza compounds having neuronal activity

L10 ANSWER 11 OF 78 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of acylaminodiazepinones as β-amyloid production inhibitors.

L10 ANSWER 12 OF 78 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of acetylpiperidinebutanediamines as calcium ion-permeable AMPA receptor antagonists

L10 ANSWER 13 OF 78 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of cyclic diaza compounds for treating neurodegenerative disorders

L10 ANSWER 14 OF 78 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of 2-azolylpyrrolidine or -piperidine derivatives having neurite outgrowth activity

L10 ANSWER 15 OF 78 CAPLUS COPYRIGHT 2007 ACS on STN
TI Neuroprotective and cognition-enhancing properties of MK-801 flexible analogs: Structure-activity relationships

L10 ANSWER 16 OF 78 CAPLUS COPYRIGHT 2007 ACS on STN
TI Process for finding a protease inhibitor

L10 ANSWER 17 OF 78 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-phenyl-1H-benzimidazole-1-carboxamides for treating a disease caused by tau protein kinase 1 hyperactivity

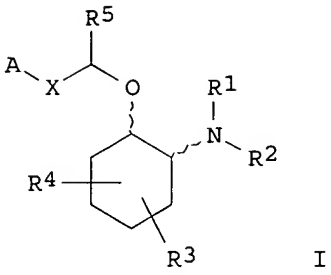
L10 ANSWER 18 OF 78 CAPLUS COPYRIGHT 2007 ACS on STN
TI The N-methyl-d-aspartate receptor channel blockers memantine, MRZ 2/579 and other amino-alkyl-cyclohexanes antagonize 5-HT3 receptor currents in cultured HEK-293 and N1E-115 cell systems in a non-competitive manner

L10 ANSWER 19 OF 78 CAPLUS COPYRIGHT 2007 ACS on STN
TI Arylpiperidine and aryl-1,2,5,6-tetra-hydropyridine urea derivatives

L10 ANSWER 20 OF 78 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of valine derivatives and their use as cysteine protease inhibitors for treatment of diseases

=> d l10 1 3 13 ti abs bib

L10 ANSWER 1 OF 78 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of aminocyclohexyl ethers as ion channel modulating compounds
GI



I

AB The title amines [I; R1, R2 = H, alkyl, alkoxyalkyl, etc.; NR1R2 = ring such as morpholino, 3-azabicyclo[3.2.2]nonane, etc.; R3, R4 = H, OH, alkyl, alkoxy; or when R3 and R4 are attached to the same ring atom, may together form a spiro 5-6 membered heterocyclic ring; X = a bond, alkenylene, etc.; A = hydrophobic moiety such as Ph, naphthyl, indenyl, etc.; R5 = H, alkyl, aryl, CH2Ph], useful as ion channel modulating compds. were prepared E.g., a multi-step synthesis of (±)-trans-[2-(4-morpholinyl)-1-(2-naphth-2-ylethoxy)]cyclohexane.HCl, starting from morpholine and cyclohexene oxide, was given. The compds. I were tested in various tests (biol. data given). The compds. I may be incorporated in compns. and kits. The present invention also discloses a variety of in vitro and in vivo uses for the compds. I and compns., including the treatment of arrhythmia and the production of analgesia and local anesthesia.

AN 2004:396011 CAPLUS <<LOGINID::20070215>>

DN 141:190792

TI Preparation of aminocyclohexyl ethers as ion channel modulating compounds

IN Bain, Allen I.; Longley, Cindy J.; Beatch, Gregory N.; Sheng, Tao; Walker, Michael J. A.; Wall, Richard A.; Plouvier, Bertrand M. C.; Zhu, Jiqun; Zolotoy, Alexander B.; Yong, Sandro L.

PA Nortran Pharmaceuticals Inc., Can.

SO Can. Pat. Appl., 158 pp.
CODEN: CPXXEB

DT Patent

LA English

FAN.CNT 1

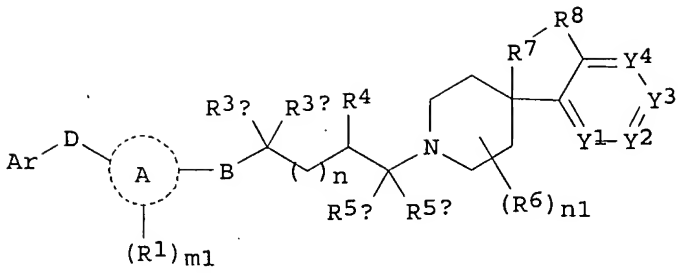
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2268590	A1	20001012	CA 2000-2268590	19990412
PRAI	CA 2000-2268590		19990412		

OS MARPAT 141:190792

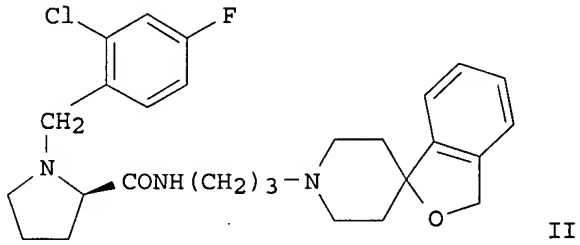
L10 ANSWER 3 OF 78 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of spiropiperidine derivatives, nociceptin receptor antagonists containing the same as the active ingredient, and medicinal compositions

GI



I



II

AB Spiropiperidine derivs. typified by compds. represented by the general formula (I) or pharmacol. acceptable salts thereof [wherein the ring A = 3- to 6-membered monocyclic aromatic or aliphatic ring optionally containing 1 or ≥2 heteroatoms selected from N, O, and S; B = CONH, NHCO; D = a single bond, O, S, CO, (un)substituted CH2 or CH2CH2; R1 = HO, halo, mono or di(lower alkyl)amino, lower alkylsulfonyl, lower alkylsulfinyl, optionally F-substituted lower alkoxy, lower alkylcarbonyloxy, lower alkylcarbonylamino, (un)substituted lower alkyl; m1 = an integer of 0-4; n = 0,1; R3a, R3b, R5a, R5b = H, halo, C1-3 alkyl, C1-3 haloalkyl; R4 = H, halo, HO, C1-3 alkyl, C1-3 haloalkyl; or R5a and R5b together form CH2, CH2CH2, or (CH2)3; R6 = halo, C1-3 alkyl; m = an integer of 0-8; R7, R8 = O, CH2; or R7 and R8 together form CH:CH; provided that R7 and R8 are not simultaneously O; Ar = (un)substituted mono- or bicyclic aryl or heteroaryl; Y1-Y4 = (un)substituted CH, N; provided that ≥2 of Y1-Y4 are not simultaneously N]. These compds. have an antagonistic effect on the binding of nociceptin to a nociceptin receptor ORL1 at an extremely low concentration, which makes them useful as analgesics for cancer pain and diseases in associated with pain, antagonists to narcotic analgesic-tolerance, antagonists to narcotic analgesic -addiction or withdrawal syndrome, analgesic potentiators, antiobesity agents, brain function improving agents, and remedies for Alzheimer's disease, dementia, schizophrenia, Parkinson's disease, Huntington's chorea, depression, diabetes insipidus, polyuria, and hypotension. Thus, to a solution of N-[3-[spiro[isobenzofuran-1(3H),4'-piperidine]-1-yl]propyl]-D-prolinamide dihydrochloride in DMF were added 2-chloro-4-fluorobenzaldehyde and sodium triacetoxyborohydride successively and stirred at room temperature for 4 h to give 1-(2-chloro-4-fluorobenzyl)-N-[3-spiro[isobenzofuran-1(3H),4'-piperidine]-1-ylpropyl]-D-prolinamide (II). II showed IC50 of 0.043 nM for inhibiting the binding of [125I]Tyr14-nociceptin to a membrane preparation obtained from CHO cells transfected with human nociceptin gene.

AN 2002:849596 CAPLUS <<LOGINID::20070215>>

DN 137:370353

TI Preparation of spiropiperidine derivatives, nociceptin receptor antagonists containing the same as the active ingredient, and medicinal compositions

IN Sagara, Takeshi; Itoh, Satoru; Nakashima, Hiroshi; Goto, Yasuhiro; Shimizu, Atsushi; Iwasawa, Yoshikazu; Okamoto, Osamu

PA Banyu Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002088089	A1	20021107	WO 2002-JP3878	20020418

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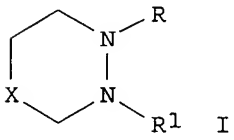
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2001-121543 A 20010419
OS MARPAT 137:370353
RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 13 OF 78 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of cyclic diaza compounds for treating neurodegenerative disorders
GI



I

AB Title compds. [I;X = bond, CH2; R = COY(CH2)nC6H5, 5-(3-pyridyl)-pent-4-ynoyl, NCCCCH2CH2CO, 5-(3-pyridyl)-pentanoyl, 3-(3-pyridyl)-propoxycarbonyl; Y = O, bond; n = 5, 4, 3, 2; R1 = C6H5CH2SO2, (CH3CH2)(CH3)2CCOCO, C6H5CH2SO2, cyclohexylaminocarbonyl] are prepared for pharmaceutical compns. comprising such compds. and methods of their use for effecting neuronal activities. Thus, the title compound I (X = bond; Y = bond; n = 4; R = COY(CH2)nC6H5; R1 = (CH3CH2)(CH3)2CCOCO) was prepared and biol. tested in mice for MPTP model of Parkinson's disease and showed recovery of TH-stained dopaminergic neurons.
AN 2001:780859 CAPLUS <<LOGINID::20070215>>
DN 135:331433
TI Preparation of cyclic diaza compounds for treating neurodegenerative disorders
IN Wu, Yong-Qian; Huang, Wei; Hamilton, Gregory S.
PA GPI NIL Holdings, Inc., USA
SO PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001079177	A1	20011025	WO 2001-US12322	20010417

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            RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN,
            YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    US 6417189          B1    20020709    US 2000-551618         20000417
PRAI US 2000-551618     A     20000417
    US 1999-164950P     P     19991112
OS  MARPAT 135:331433
RE.CNT  15    THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT


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                                                      ENTRY    SESSION
FULL ESTIMATED COST                                   28.16     201.82

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -2.34      -2.34

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PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'CAPLUS' AT 09:18:06 ON 15 FEB 2007
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FULL ESTIMATED COST                                   28.16     201.82

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CA SUBSCRIBER PRICE                                   -2.34      -2.34

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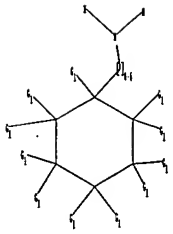

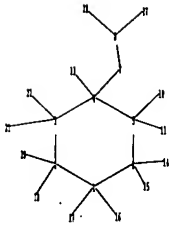

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7 8 10 11 13 14 15 16 17 18 20 21 22 27 28
ring nodes :
1 2 3 4 5 6
chain bonds :
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8-28
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-16 1-17 2-18 2-20 3-21 3-22 4-13 5-10 5-11 6-14 6-15 7-8
exact bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 8-27 8-28
isolated ring systems :
containing 1 :

G1:C,H

G2:Ak,H

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS
13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 20:CLASS 21:CLASS
22:CLASS 27:CLASS
28:CLASS

L11 STRUCTURE UPLOADED

=> file registry

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FULL ESTIMATED COST	28.63	202.29

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.34	-2.34

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STRUCTURE FILE UPDATES: 14 FEB 2007 HIGHEST RN 921041-62-5
DICTIONARY FILE UPDATES: 14 FEB 2007 HIGHEST RN 921041-62-5

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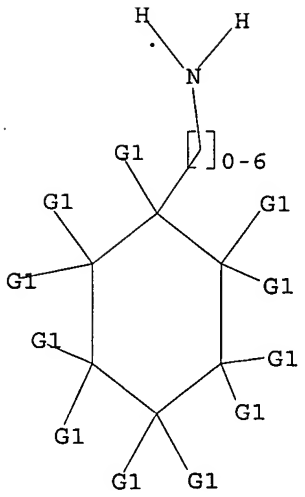

G1 C,H

G2 Ak,H

Structure attributes must be viewed using STN Express query preparation.

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  3.1% PROCESSED     2000 ITERATIONS                          50 ANSWERS
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SEARCH TIME: 00.00.01

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                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      1283979 TO  1314341
PROJECTED ANSWERS:           45758 TO    51678

L12          50 SEA SSS SAM L11

=> d his

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     FILE 'REGISTRY' ENTERED AT 09:08:11 ON 15 FEB 2007
L1              STRUCTURE UPLOADED
L2           50 S L1
L3              STRUCTURE UPLOADED
L4           50 S L3
L5       282353 S L3 SSS FULL

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L6         9905 S L5/THU
L7          997 S L6 AND ALZHEIME?
L8           17 S L7 AND ACETYLCHOLINESTERASE
L9            0 S L8 NOT PY>2002
L10          78 S L7 NOT PY>2002
L11             STRUCTURE UPLOADED

     FILE 'REGISTRY' ENTERED AT 09:18:27 ON 15 FEB 2007
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FULL ESTIMATED COST                                    41.10     243.39

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CA SUBSCRIBER PRICE                                     0.00      -2.34

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FILE LAST UPDATED: 14 Feb 2007  (20070214/ED)

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L16          9 L15 NOT PY>2002

=> d l16 1-9 ti

L16  ANSWER 1 OF 9  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Preparation of N-sulfonylated phenylalanine dipeptide derivatives as
     inhibitors of leukocyte adhesion mediated by VLA-4
```

L16 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of pyrrole derivatives as antiinflammatory agents, analgesics, antiallergic agents, etc.

L16 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of acetylpiperidinebutanediamines as calcium ion-permeable AMPA receptor antagonists

L16 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
TI The N-methyl-d-aspartate receptor channel blockers memantine, MRZ 2/579 and other amino-alkyl-cyclohexanes antagonize 5-HT3 receptor currents in cultured HEK-293 and N1E-115 cell systems in a non-competitive manner

L16 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of novel cycloalkyl substituted imidazoles for treating cytokine mediated diseases

L16 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of aspartate ester inhibitors of interleukin-1β converting enzyme

L16 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
TI Benzoperimidine-carboxylic acids and derivatives as antagonists of corticotropin releasing factor receptors

L16 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
TI Aminobenzoic acid derivatives for treatment of chronic inflammatory diseases

L16 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of aminopyridinylmethanols and aminomethylpyridinamines and related compounds as drugs

=> d l16 1-9 ti abs bib

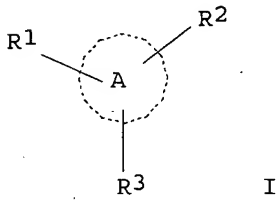
L16 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-sulfonylated phenylalanine dipeptide derivatives as inhibitors of leukocyte adhesion mediated by VLA-4
AB Disclosed are title dipeptides R1SO2NR2CHR3-Q-CHR5CO2H [R1, R3 = (un)substituted alkyl, aryl, cycloalkyl, heterocyclyl or heteroaryl; R2 = H, (un)substituted cycloalkenyl, or any group given for R1; or R2 may form an (un)substituted heterocyclic ring with R1 or R3; R5 = (CH2)x-Ar-R5'; R5' = alkylcarbonylamino, alkoxyaryl, (hetero)aryl, alkylamino, alkenyl, alkoxyheterocyclyl, etc.; x = 1-4; Ar = (un)substituted (hetero)aryl; Q = C(X)NR7; R7 = H, alkyl; X = O, S (with provisos)] which bind VLA-4 (also referred to as α4β1 integrin and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, such as asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, condensation of N-tosyl-L-prolyl-4-amino-L-phenylalanine Me ester with N-(tert-butoxycarbonyl)glycine afforded N-tosyl-L-prolyl-4-[(N-tert-butoxycarbonylglycyl)amino]-L-phenylalanine.
AN 2002:942792 CAPLUS <<LOGINID::20070215>>
DN 138:24953
TI Preparation of N-sulfonylated phenylalanine dipeptide derivatives as inhibitors of leukocyte adhesion mediated by VLA-4
IN Thorsett, Eugene D.; Semko, Christopher M.; Sarantakis, Dimitrios; Pleiss, Michael A.; Lombardo, Louis John; Kreft, Anthony; Konradi, Andrei W.; Grant, Francine S.; Dressen, Darren B.; Dappen, Michael S.; Baudy,

Reinhardt Bernhard; Ashwell, Susan
PA Athena Neurosciences, Inc., USA; American Home Products Corp.
SO U.S., 71 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6492421	B1	20021210	US 1998-126095	19980730
PRAI US 1997-104599P	P	19970731		

OS MARPAT 138:24953
RE.CNT 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of pyrrole derivatives as antiinflammatory agents, analgesics, antiallergic agents, etc.
GI



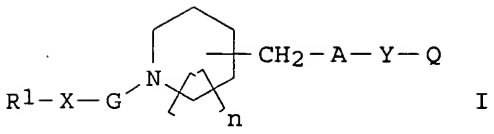
I

AB The title compds. I [ring A = pyrrole ring; R1 = (un)substituted aryl, etc.; R2 = (un)substituted heteroaryl; R3 = XR4; X = single bond, (un)substituted alkylene, etc.; R4 = (un)substituted heteroaryl, etc.; further detail related to R1, R2, and R3 is given] are prepared I inhibit cytokine production In an in vitro test using human blood treated with LPS, compds. of this invention showed IC50 values of 0.026 μM to 0.44 μM against TNF-α production Formulations are given.
AN 2002:750728 CAPLUS <<LOGINID::20070215>>
DN 137:279086
TI Preparation of pyrrole derivatives as antiinflammatory agents, analgesics, antiallergic agents, etc.
IN Kimura, Tomio; Aoki, Kazuma; Nakao, Akira; Ushiyama, Shigeru; Shimozato, Ryuichi; Okawa, Nobuyuki
PA Sankyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 224 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002284779	A	20021003	JP 2002-7128	20020116
PRAI JP 2001-9601	A	20010118		

OS MARPAT 137:279086

L16 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of acetylpiperidinebutanediamines as calcium ion-permeable AMPA receptor antagonists
GI



I

AB The compds. I (R1 = aryl, arylcarbonyl, aryloxy, cycloalkyl heterocyclyl, etc.; X = single bond, (un)substituted alkyl, alkenyl, cycloalkyl, monocyclic heterocyclyl; G = CO, SO2; n = 0-3; A = NR2, O, S, single bond; R2 = H, alkyl, OH; Y = alkylene, alkynylene, alkenylene; Q = NR3R4, OR5, SR5; R3, R4 = H, alkyl, cycloalkyl, aralkyl, etc.; R5 = alkyl, cycloalkyl, aryl, heterocyclyl, etc.), their salts, and solvates are prepared The compds. are useful for cerebral infarction, senile dementia, Alzheimer's, disease, Parkinson's disease, and Huntington's disease. Cyclohexanol was reacted with with oxalyl chloride in the presence of DMSO and Et3N in CH2Cl2 at -78° for 30 min and reacted with 4-[N-(4-aminobutyl)-N-(tert-butoxycarbonyl)aminomethyl]-1-(1-naphthylacetyl)piperidine for 1 h to give 82% N-(tert-butoxycarbonyl)-N'-cyclohexylmethyl-N-[1-(1-naphthylacetyl)piperidin-4-ylmethyl]-1,4-butanediamine, which was treated with HCl in EtOH at room temperature for 5 h to give N-cyclohexylmethyl-N'-[1-(1-naphthylacetyl)piperidin-4-ylmethyl]-1,4-butanediamine hydrochloride showing good AMPA receptor blocking activity in vitro.

AN 2002:113840 CAPLUS <<LOGINID::20070215>>

DN 136:167283

TI Preparation of acetylpiperidinebutanediamines as calcium ion-permeable AMPA receptor antagonists

IN Mimura, Tetsuya; Kawajiri, Shinichi

PA Daiichi Seiyaku Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 93 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002047272	A	20020212	JP 2000-225300	20000726
PRAI	JP 2000-225300		20000726		

OS MARPAT 136:167283

L16 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

TI The N-methyl-d-aspartate receptor channel blockers memantine, MRZ 2/579 and other amino-alkyl-cyclohexanes antagonize 5-HT3 receptor currents in cultured HEK-293 and N1E-115 cell systems in a non-competitive manner

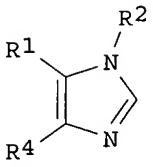
AB The type 3 serotonin (5-HT3) receptor is a ligand-gated ion channel. In concentration-clamp expts., we investigated the effects of the uncompetitive N-methyl-d-aspartate (NMDA) receptor antagonists memantine, amantadine and MRZ 2/579 on 5-HT receptors stably expressed in HEK-293 cells and on native 5-HT3 receptors in the N1E-115 cell line. All agents antagonized serotonin (10 μM)-induced inward currents with similar potency to that reported for NMDA receptors. This effect was characterized by inducing a pronounced receptor desensitization, and was probably non-competitive and voltage-independent. In contrast, (S)-ketamine was much weaker as an antagonist of 5-HT3 receptors than NMDA receptors. Similar effects on 5-HT3 receptors have been reported previously for a variety of anti-depressants and it is possible that the clin. anti-depressant effects reported for both memantine and amantadine are mediated, at least in part, by antagonistic effects at 5-HT3 receptors.

AN 2001:429280 CAPLUS <<LOGINID::20070215>>

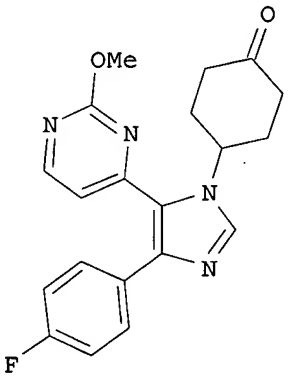
DN 135:251854

TI The N-methyl-d-aspartate receptor channel blockers memantine, MRZ 2/579 and other amino-alkyl-cyclohexanes antagonize 5-HT3 receptor currents in cultured HEK-293 and N1E-115 cell systems in a non-competitive manner
AU Rammes, G.; Rupprecht, R.; Ferrari, U.; Zieglgansberger, W.; Parsons, C. G.
CS Max-Planck-Institute of Psychiatry, Munchen, D-80804, Germany
SO Neuroscience Letters (2001), 306(1-2), 81-84
CODEN: NELED5; ISSN: 0304-3940
PB Elsevier Science Ireland Ltd.
DT Journal
LA English
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of novel cycloalkyl substituted imidazoles for treating cytokine mediated diseases
GI



I



II

AB The title compds. [I; R1 = (un)substituted heterocyclyl; R2 = (un)substituted C3-7 cycloalkyl, C3-7 cycloalkylC1-10alkyl; R4 = (un)substituted Ph, naphthyl, heterocyclyl], useful in the treatment of inflammation, osteoporosis and CSBP/RK/p38 kinase mediated diseases such as psoriatic arthritis, Reiter's syndrome, rheumatoid arthritis, sepsis, septic shock, Alzheimer's disease, stroke, asthma, ARDS, cerebral malaria, chronic pulmonary inflammatory disease, silicosis, restenosis, congestive heart failure, chronic renal failure, thrombosis, diabetes, eczema, and psoriasis, were prepared E.g. a multi-step synthesis of imidazole II which showed IC50 of < 50 μM in cytokine specific binding protein assay, is given.
AN 1999:48720 CAPLUS <<LOGINID::20070215>>
DN 130:125073
TI Preparation of novel cycloalkyl substituted imidazoles for treating cytokine mediated diseases
IN Adams, Jerry Leroy; Boehm, Jeffrey Charles; Garigipati, Ravi Shanker
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9901452	A1	19990114	WO 1998-US13800	19980701

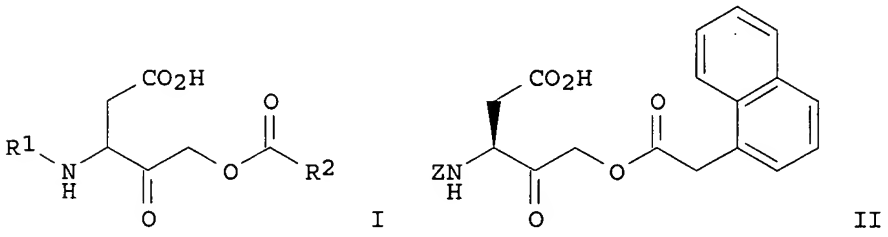
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP,

KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2295762	A1	19990114	CA 1998-2295762	19980701
AU 9883810	A	19990125	AU 1998-83810	19980701
EP 1019396	A1	20000719	EP 1998-934242	19980701
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
JP 2002509537	T	20020326	JP 1999-507383	19980701
US 6251914	B1	20010626	US 1999-445857	19991215
PRAI US 1997-51510P	P	19970702		
WO 1998-US13800	W	19980701		

OS MARPAT 130:125073
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of aspartate ester inhibitors of interleukin-1β converting enzyme
GI



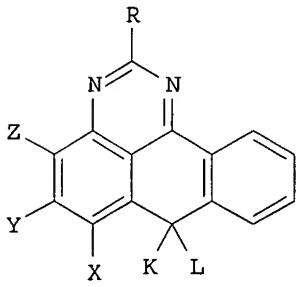
AB The present invention relates to compds. I [R1 = carboxy, acyl, amino acid residue, etc.; R2 = (CR2)n-X-R3; each R = independently H, C1-6 alkyl, OH; R3 = (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl, cycloalkyl, etc; X = bond, O, S; n = 0-3; and the pharmaceutically acceptable salts, esters, amides, and prodrugs thereof] as inhibitors of interleukin-1β converting enzyme (ICE). This invention also relates to a method of treatment of stroke, inflammatory diseases, reperfusion injury, Alzheimer's disease, and shigellosis, and to a pharmaceutically acceptable composition that contains a compound that is an inhibitor of interleukin-1β converting enzyme. Thus, substitution of Z-Asp(OCMe3)-CH2Br (Z = PhCH2O2C) with 1-naphthylacetic acid, followed by acidic deprotection, gave desired aspartate ester derivative II. II inhibited ICE with Ki = 0.460 μM and IC50 = 3.100 μM, and inhibited Ich-2 (caspase-4) with IC50 = 3.60 μM, as determined using in vitro assays. Related prepared compds. I (196 examples) were also tested for ICE inhibition (Ki values of 0.00008 to 76 μM and IC50 values of 0.0013 to 32 μM), and Ich-2 inhibition (IC50 = 0.021 to 76 μM).
AN 1998:251152 CAPLUS <<LOGINID::20070215>>
DN 128:321926
TI Preparation of aspartate ester inhibitors of interleukin-1β converting enzyme
IN Albrecht, Hans P.; Allen, Hamish John; Brady, Kenneth Dale; Caprathe, Bradley William; Gilmore, John Lodge; Harter, William Glen; Hays, Sheryl Jeanne; Kostlan, Catherine Rose; Lunney, Elizabeth Ann; Para, Kimberly Suzanne; et al.
PA Warner-Lambert Company, USA

SO PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9816502	A1	19980423	WO 1997-US18514	19971009
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2268098	A1	19980423	CA 1997-2268098	19971009
AU 9749023	A	19980511	AU 1997-49023	19971009
AU 738341	B2	20010913		
EP 932598	A1	19990804	EP 1997-911715	19971009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9712530	A	19991019	BR 1997-12530	19971009
JP 2001506974	T	20010529	JP 1998-518519	19971009
NO 9901677	A	19990609	NO 1999-1677	19990409
KR 2000049048	A	20000725	KR 1999-703117	19990410
PRAI US 1996-28322P	P	19961011		
WO 1997-US18514	W	19971009		

OS MARPAT 128:321926
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
TI Benzoperimidine-carboxylic acids and derivatives as antagonists of corticotropin releasing factor receptors
GI



I

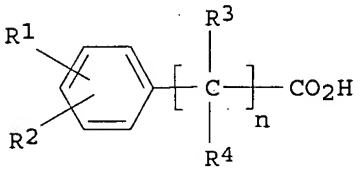
AB Benzoperimidinecarboxylic acids and derivs. of general structural formula [I, R = H, alkyl, allyl, etc.; K, L = independently H, OH, CO2H, etc.; X, Y = independently H, NH(alkyl), N(alkyl)2, etc.; Z = H, CO2H, CONH2, etc.] are prepared The compds. have antagonist activity at receptors of corticotropin releasing factor (CRF) (no data). Thus, 7-oxo-7H-benzo[e]perimidine-4-carboxylic acid was reacted with 1,1'-carbonyldiimidazole and ethylenediamine, followed by reaction with (1S,2S)-(+)-1,2-diaminocyclohexane, to give I [R = H; K, L = O; X, Y = (S,S)-trans-C6H10(NH)2; Z = CONH(CH2)2NH2]. The compds. are useful in treating stress-related diseases, cardiovascular, neurol. and psychiatric disorders including anxiety, depression, eating disorders, anorexia nervosa, supranuclear palsy, irritable bowel syndrome, gastrointestinal diseases, immune suppression, inflammatory disorders, drug and alc. withdrawal symptoms, drug addiction, Alzheimer's disease or

fertility disorders.
AN 1998:163569 CAPLUS <<LOGINID::20070215>>
DN 128:217376
TI Benzoperimidine-carboxylic acids and derivatives as antagonists of corticotropin releasing factor receptors
IN Rabinovich, Aleksandr K.; Dhanoa, Dale S.; Luthin, David R.; Bychowski, Richard A.; Bhumralkar, Dilip R.
PA Agouron Acquisition Corp., USA; Rabinovich, Aleksandr K.; Dhanoa, Dale S.; Luthin, David R.; Bychowski, Richard A.; Bhumralkar, Dilip R.
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9808821	A1	19980305	WO 1997-US14955	19970826
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5861398	A	19990119	US 1996-703025	19960826
	AU 9741617	A	19980319	AU 1997-41617	19970826
PRAI	US 1996-703025	A2	19960826		
	WO 1997-US14955	W	19970826		

OS MARPAT 128:217376
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
TI Aminobenzoic acid derivatives for treatment of chronic inflammatory diseases
GI



I

AB Aminobenzoic acid derivs. and analogs [I; R1 = NH2, C1-10 aminoalkyl, C(:NH)NH2, (CH2)nNHC(:NH)NH2, (CH2)mCH:NC(:NH)NH2, (CH2)nNHC(:NH)NHNH2, (CH2)mCH:NC(:NH)NHNH2, (CH2)nNHNHC(:NH)NH2, (CH2)mCH:NNHC(:NH)NH2; m = 1-10; n = 0-10; R2 = H, OH, C1-10 alkoxy, C1-10 aminoalkyl, SO3H, C1-11 alkyl; R3, R4 = H, OH, Me; p = 0, 1] and their salts, esters, and amides are useful for clin. treatment of chronic inflammatory diseases including arthritis, ileitis, and colitis, as well as trauma resulting from ischemia and subsequent reperfusion. Increased lipid peroxidn. is common to the etiol. of all these clin. disorders. Such increased lipid peroxidn. generates carbonyl substances which are cytotoxic and addnl. serve to perpetuate and disseminate the inflammatory process. I are administered orally as carbonyl trapping agents which act by chemical binding to and sequestering the aldehyde and/or ketone products of lipid peroxidn. P-Aminobenzoic acid, a suitable example of I, has a small mol. weight, is water soluble, has a primary amine group which should react with

carbonyl-containing metabolites under physiol. conditions, and is tolerated by the body in relatively high dosages and for extended periods. I may optionally be administered together with an antioxidant free radical-trapping substance and ≥1 medicament effective for treating chronic inflammatory diseases to produce an additive or synergistic effect. Thus, a topical composition for treatment of chronic gingivitis or periodontitis contained p-aminomethylbenzoic acid 5, acetylhomocysteine thiolactone 1, and metronidazole 2 g.

AN 1996:123687 CAPLUS <<LOGINID::20070215>>
DN 124:185543
TI Aminobenzoic acid derivatives for treatment of chronic inflammatory diseases
IN Shapiro, Howard K.
PA USA
SO PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9531194	A1	19951123	WO 1995-US6044	19950511
	W: AU, CA, JP, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2190107	A1	19951123	CA 1995-2190107	19950511
	AU 9526378	A	19951205	AU 1995-26378	19950511
	AU 698881	B2	19981112		
	EP 759750	A1	19970305	EP 1995-921256	19950511
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
PRAI	US 1994-241603	A	19940511		
	WO 1995-US6044	W	19950511		

OS MARPAT 124:185543

L16 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of aminopyridinylmethanols and aminomethylpyridinamines and related compounds as drugs
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R = OH, NH2, alkylamino, cycloalkylamino; R1 = H, alkyl, aralkyl, acyl, aroyl, etc.; R2 = H, alkyl, cycloalkyl, aryl, aralkyl; R3 = H, alkyl, cycloalkyl aralkyl, aryl; RR3 = O, NOH], useful as analgesics, antiinflammatory agents, and for treating such memory dysfunctions as Alzheimer's disease, are prepared To a cooled solution of 8 g aldehyde II in THF was added 3.0M MeMgBr in Et2O, the mixture was stirred with NH4Cl, and extracted with Et2O to give 4.5 g I (R = OH, R1 = Me3CCO, R2 = H, R3 = Me), which showed 89% inhibition of phenylquinone-induced writhing in mice at 20 mg/kg s.c.
AN 1991:558980 CAPLUS <<LOGINID::20070215>>
DN 115:158980
TI Preparation of aminopyridinylmethanols and aminomethylpyridinamines and related compounds as drugs
IN Effland, Richard Charles; Klein, Joseph Thomas
PA Hoechst-Roussel Pharmaceuticals, Inc., USA
SO Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 435222	A2	19910703	EP 1990-125274	19901221
	EP 435222	A3	19911211		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	CA 2032973	A1	19910628	CA 1990-2032973	19901221
	NO 9005543	A	19910628	NO 1990-5543	19901221

```
     HU 56347              A2    19910828    HU 1990-8403           19901221
     ZA 9010328            A     19911030    ZA 1990-10328          19901221
     CZ 282665             B6    19970813    CZ 1990-6585           19901221
     AU 9068409            A     19910704    AU 1990-68409          19901224
     AU 631953             B2    19921210
     IL 96782              A     19941021    IL 1990-96782          19901225
     JP 05345762           A     19931227    JP 1990-418212         19901226
     JP 08005861           B     19960124
     KR 190783             B1    19990601    KR 1990-21719          19901226
     KR 195378             B1    19990615    KR 1998-43269          19981016
PRAI US 1989-457610        A     19891227
     US 1990-594497        A     19901009
     KR 1990-21719         A     19901226
OS   MARPAT 115:158980


=> d his

     (FILE 'HOME' ENTERED AT 09:08:07 ON 15 FEB 2007)

     FILE 'REGISTRY' ENTERED AT 09:08:11 ON 15 FEB 2007
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L2           50 S L1
L3              STRUCTURE UPLOADED
L4           50 S L3
L5       282353 S L3 SSS FULL

     FILE 'CAPLUS' ENTERED AT 09:12:03 ON 15 FEB 2007
L6         9905 S L5/THU
L7          997 S L6 AND ALZHEIME?
L8           17 S L7 AND ACETYLCHOLINESTERASE
L9            0 S L8 NOT PY>2002
L10          78 S L7 NOT PY>2002
L11             STRUCTURE UPLOADED

     FILE 'REGISTRY' ENTERED AT 09:18:27 ON 15 FEB 2007
L12          50 S L11
L13       38624 S L11  SUB=L5 FULL

     FILE 'CAPLUS' ENTERED AT 09:19:20 ON 15 FEB 2007
L14        1791 S L13/THU
L15         161 S L14 AND ALZHEIME?
L16           9 S L15 NOT PY>2002

=> file uspatfull
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                                                     ENTRY    SESSION
FULL ESTIMATED COST                                  35.50     278.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)        SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                  -7.02      -9.36

FILE 'USPATFULL' ENTERED AT 09:20:28 ON 15 FEB 2007
CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 13 Feb 2007 (20070213/PD)
FILE LAST UPDATED: 13 Feb 2007 (20070213/ED)
HIGHEST GRANTED PATENT NUMBER: US7178169
HIGHEST APPLICATION PUBLICATION NUMBER: US2007033695
CA INDEXING IS CURRENT THROUGH 13 Feb 2007 (20070213/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 13 Feb 2007 (20070213/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2006
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2006
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=> s l13
L17       3224 L13

=> s l17 and Alzheiemr's
MISMATCHED QUOTE 'ALZHEIEMR'S'
Quotation marks (or apostrophes) must be used in pairs,
one before and one after the expression you are setting
off or masking.

=> s l17 and Alzheie?
            20 ALZHEIE?
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=> s l17 and Alzhie?
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L19          0 L17 AND ALZHIE?

=> s l17 and Alzheime?
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=> s l20 not py>2003
       1245117 PY>2003
L21        228 L20 NOT PY>2003

=> s l21 and acetylcholinesterase
          7014 ACETYLCHOLINESTERASE
L22          6 L21 AND ACETYLCHOLINESTERASE

=> d l22 1-6 ti

L22  ANSWER 1 OF 6  USPATFULL on STN
TI     Polyamine analogues as therapeutic and diagnostic agents

L22  ANSWER 2 OF 6  USPATFULL on STN
TI     Anti-epileptogenic agents

L22  ANSWER 3 OF 6  USPATFULL on STN
TI     Pyrimidine compounds as anti-ictogenic and/or anti-epileptogenic agents

L22  ANSWER 4 OF 6  USPATFULL on STN
TI     Substituted pyrazoles as p38 kinase inhibitors

L22  ANSWER 5 OF 6  USPATFULL on STN
TI     Cycloalkyl-substituted aryl-piperazines, piperidines and
       tetrahydropyridines as serotonergic agents

L22  ANSWER 6 OF 6  USPATFULL on STN
TI     Cycloalkyl-substituted aryl-piperazines, piperidines and
       tetrahydropyridines as serotonergic agents


=> d l22 1 2 3 4 5 6 ti abs bib

L22  ANSWER 1 OF 6  USPATFULL on STN
TI     Polyamine analogues as therapeutic and diagnostic agents
AB     Novel "bispolyamine" inhibitor compounds of polyamine transport are
       disclosed. These compounds are useful pharmaceutical agents for treating
       diseases where it is desired to inhibit polyamine transport or other
       polyamine binding proteins, for example cancer and post-angioplasty
       injury. These compounds display desirable activities both for diagnostic
       and research assays and therapy.
```

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2003:296990 USPATFULL <<LOGINID::20070215>>
TI Polyamine analogues as therapeutic and diagnostic agents
IN Vermeulin, Nicolaas M. J., 19334 - 196th Ave., NE., Woodinville, WA, United States 98072
O'Day, Christine L., 4404-B 216th St., SW., Mountlake Terrace, WA, United States 98043
Webb, Heather K., 5705 Seaview Ave., NW., Seattle, WA, United States 98107
Burns, Mark R., 226 NW. 184th St., Shoreline, WA, United States 98177
Bergstrom, Donald E., 3416 Hamilton St., West Lafayette, IN, United States 47906
PI US 6646149 B1 20031111
AI US 2000-584175 20000531 (9)
RLI Continuation-in-part of Ser. No. US 1999-396523, filed on 15 Sep 1999
Continuation-in-part of Ser. No. US 341400, now patented, Pat. No. US 6172261
PRAI US 1998-85538P 19980515 (60)
US 1997-65728P 19971114 (60)
US 1997-52586P 19970715 (60)
DT Utility
FS GRANTED
EXNAM Primary Examiner: Kumar, Shailendra
LREP Amernick, Burton A., Connolly Bove Lodge & Hutz, LLP
CLMN Number of Claims: 28
ECL Exemplary Claim: 1
DRWN 59 Drawing Figure(s); 59 Drawing Page(s)
LN.CNT 2033
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L22 ANSWER 2 OF 6 USPATFULL on STN
TI Anti-epileptogenic agents
AB Methods and compounds useful for the inhibition of convulsive disorders, including epilepsy, are disclosed. The methods and compounds of the invention inhibit or prevent ictogenesis and/or epileptogenesis. Methods for preparing the compounds of the invention are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2003:276350 USPATFULL <<LOGINID::20070215>>
TI Anti-epileptogenic agents
IN Weaver, Donald F., Halifax, CANADA
Tan, Christopher Y.K., North York, CANADA
Kim, Stephen T., Kingston, CANADA
Kong, Xianqi, Dollard-des-Ormeaux, CANADA
Wei, Lan, Edison, NJ, UNITED STATES
Carran, John R., Kingston, CANADA
PA Queen's University at Kingston and Neurochem, Inc. (non-U.S. corporation)
PI US 2003194375 A1 20031016
AI US 2002-272249 A1 20021015 (10)
RLI Continuation of Ser. No. US 2002-99934, filed on 13 Mar 2002, PENDING
PRAI US 2001-275618P 20010313 (60)
DT Utility
FS APPLICATION
LREP LAHIVE & COCKFIELD, 28 STATE STREET, BOSTON, MA, 02109
CLMN Number of Claims: 49
ECL Exemplary Claim: 1
DRWN 4 Drawing Page(s)
LN.CNT 3315
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L22 ANSWER 3 OF 6 USPATFULL on STN
TI Pyrimidine compounds as anti-ictogenic and/or anti-epileptogenic agents

AB Methods and compounds useful for the inhibition of convulsive disorders, including epilepsy, are disclosed. The methods and compounds of the invention inhibit or prevent ictogenesis and/or epileptogenesis. Methods for preparing the compounds of the invention are also described. Particularly preferred compounds of the invention include: ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2003:220293 USPATFULL <<LOGINID::20070215>>
TI Pyrimidine compounds as anti-ictogenic and/or anti-epileptogenic agents
IN Weaver, Donald F., Halifax, CANADA
Guillain, Buhendwa Musole, Kingston, CANADA
Carran, John R., Kingston, CANADA
Jones, Kathryn, Kingston, CANADA
PA Queen's University, Kingston, CANADA (non-U.S. corporation)
PI US 2003153584 A1 20030814
AI US 2002-123062 A1 20020411 (10)
PRAI US 2001-282987P 20010411 (60)
US 2001-285940P 20010423 (60)
US 2001-310748P 20010807 (60)
DT Utility
FS APPLICATION
LREP LAHIVE & COCKFIELD, 28 STATE STREET, BOSTON, MA, 02109
CLMN Number of Claims: 63
ECL Exemplary Claim: 1
DRWN 2 Drawing Page(s)
LN.CNT 2179
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L22 ANSWER 4 OF 6 USPATFULL on STN
TI Substituted pyrazoles as p38 kinase inhibitors
AB A class of pyrazole derivatives is described for use in treating p38 kinase mediated disorders. Compounds of particular interest are defined by Formula IA ##STR1##

wherein R.sup.1, R .sup.2, R.sup.3 and R.sup.4 are as described in the specification.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2003:53811 USPATFULL <<LOGINID::20070215>>
TI Substituted pyrazoles as p38 kinase inhibitors
IN Anantanarayan, Ashok, Hainesville, IL, United States
Clare, Michael, Skokie, IL, United States
Collins, Paul W., Deerfield, IL, United States
Crich, Joyce Zuowu, Glenview, IL, United States
Devraj, Rajesh, Chesterfield, MO, United States
Flynn, Daniel L., Clarkson Valley, MO, United States
Geng, Lifeng, Skokie, IL, United States
Graneto, Matthew J., Chesterfield, MO, United States
Hanau, Cathleen E., Chesterfield, MO, United States
Hanson, Gunnar J., Skokie, IL, United States
Hartmann, Susan J., Kirkwood, MO, United States
Hepperle, Michael, St. Charles, MO, United States
Huang, He, Chicago, IL, United States
Koszyk, Francis J., Prospect Heights, IL, United States
Liao, Shuyuan, Northbrook, IL, United States
Metz, Suzanne, Chesterfield, MO, United States
Partis, Richard A., Evanston, IL, United States
Perry, Thao D., Chesterfield, MO, United States
Rao, Shashidhar N., Mundelein, IL, United States
Selness, Shaun Raj, St. Louis, MO, United States
South, Michael S., St. Louis, MO, United States
Stealey, Michael A., Libertyville, IL, United States
Talley, John Jeffrey, St. Louis, MO, United States
Vazquez, Michael L., Ballwin, MO, United States

Weier, Richard M., Lake Bluff, IL, United States
Xu, Xiangdong, Gurnee, IL, United States
Khanna, Ish K., Libertyville, IL, United States
Yu, Yi, Skokie, IL, United States
PA G. D. Searle & Company, Skokie, IL, United States (U.S. corporation)
PI US 6525059 B1 20030225
AI US 2000-513351 20000224 (9)
RLI Continuation of Ser. No. WO 1999-US26007, filed on 17 Nov 1999
Continuation-in-part of Ser. No. US 1998-196623, filed on 20 Nov 1998
DT Utility
FS GRANTED
EXNAM Primary Examiner: Solola, T. A.
LREP Harness, Dickey & Pierce, P.L.C.
CLMN Number of Claims: 79
ECL Exemplary Claim: 1
DRWN 2 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 16111
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L22 ANSWER 5 OF 6 USPATFULL on STN
TI Cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotonergic agents
AB This invention relates to compounds which have activity as 5-HT.sub.1A agonists and antagonists which may be useful for the treatment of anxiety, depression, cognitive deficits, and prostate cancer, having the formula ##STR1##

wherein: X is a moiety selected from the group of: ##STR2##

n is selected from the integers 1 through 5; R.sup.1 is optionally substituted aryl or mono or bicyclic heteroaryl, with a proviso that heteroaryl is not thiadiazole; R.sup.2 is H or alkyl; R.sup.3 is H, COR.sup.5, COOR.sup.5, and CONR.sup.5R.sup.6; R.sup.4 is H, alkyl, alkenyl, alkynyl, aryl, mono or bicyclic heteroaryl, aralkyl, and mono or bicyclic heteroaralkyl, wherein the aryl or heteroaryl groups are optionally substituted; R.sup.5 and R.sup.6 are H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, adamantyl, and noradamantyl or R.sup.5 and R.sup.6 taken together may form a 5-7 membered azacyclic ring, optionally containing an additional heteroatom selected from O, S, or NR.sup.4; when R.sup.5 or R.sup.6 are chosen from cycloalkyl or cycloalkenyl, the cyclic group may optionally be substituted at the 1-position with a C.sub.1-C.sub.3 alkyl group;

or an optical isomer; or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2002:280629 USPATFULL <<LOGINID::20070215>>
TI Cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotonergic agents
IN Childers, Wayne E., New Hope, PA, UNITED STATES
Kelly, Michael G., Thousand Oaks, CA, UNITED STATES
Palmer, Yvette L., Yardley, PA, UNITED STATES
Podlesny, Edward J., New Tripoli, PA, UNITED STATES
PA Wyeth (formerly American Home Products Corporation), Madison, NJ, UNITED STATES (U.S. corporation)
PI US 2002156075 A1 20021024
US 6518272 B2 20030211
AI US 2002-107866 A1 20020327 (10)
RLI Division of Ser. No. US 2000-723478, filed on 28 Nov 2000, GRANTED, Pat. No. US 6376494 Continuation-in-part of Ser. No. US 1999-333158, filed on 14 Jun 1999, ABANDONED
PRAI US 1998-135107P 19980615 (60)
DT Utility
FS APPLICATION

LREP Joseph M. Mazzarese Wyeth, Patent Law Department, Five Giralda Farms, Madison, NJ, 07940
CLMN Number of Claims: 10
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1288
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L22 ANSWER 6 OF 6 USPATFULL on STN
TI Cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotonergic agents
AB This invention relates to compounds which have activity as 5-HT.sub.1A agonists and antagonists which may be useful for the treatment of anxiety, depression, cognitive deficits, and prostate cancer, having the formula ##STR1##

wherein:

X is a moiety selected from the group of: ##STR2##

n is selected from the integers 1 through 5; R.sup.1 is optionally substituted aryl or mono or bicyclic heteroaryl, with a proviso that heteroaryl is not thiadiazole; R.sup.2 is H or alkyl; R.sup.3 is H, COR.sup.5, COOR.sup.5, and CONR.sup.5R.sup.6; R.sup.4 is H, alkyl, alkenyl, alkynyl, aryl, mono or bicyclic heteroaryl, aralkyl, and mono or bicyclic heteroaralkyl, wherein the aryl or heteroaryl groups are optionally substituted; R.sup.5 and R.sup.6 are H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, adamantyl, and noradamantyl or R.sup.5 and R.sup.6 taken together may form a 5-7 membered azacyclic ring, optionally containing an additional heteroatom selected from O, S, or NR.sup.4; when R.sup.5 or R.sup.6 are chosen from cycloalkyl or cycloalkenyl, the cyclic group may optionally be substituted at the 1-position with a C.sub.1-C.sub.3 alkyl group;

or an optical isomer; or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2002:88481 USPATFULL <<LOGINID::20070215>>
TI Cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotonergic agents
IN Childers, Wayne E., New Hope, PA, United States
Kelly, Michael G., Thousand Oaks, CA, United States
Palmer, Yvette L., Yardley, PA, United States
Podlesny, Edward J., New Tripoli, PA, United States
PA American Home Products Corporation, Madison, NJ, United States (U.S. corporation)
PI US 6376494 B1 20020423
AI US 2000-723478 20001128 (9)
RLI Continuation-in-part of Ser. No. US 1999-333158, filed on 14 Jun 1999, now abandoned
PRAI US 1998-135107P 19980615 (60)
DT Utility
FS GRANTED
EXNAM Primary Examiner: Bernhardt, Emily
LREP Mazzarese, Joseph M.
CLMN Number of Claims: 7
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 1146
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d his

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     (FILE 'HOME' ENTERED AT 09:08:07 ON 15 FEB 2007)

     FILE 'REGISTRY' ENTERED AT 09:08:11 ON 15 FEB 2007
L1             STRUCTURE UPLOADED
L2            50 S L1
L3             STRUCTURE UPLOADED
L4            50 S L3
L5        282353 S L3 SSS FULL

     FILE 'CAPLUS' ENTERED AT 09:12:03 ON 15 FEB 2007
L6          9905 S L5/THU
L7           997 S L6 AND ALZHEIME?
L8            17 S L7 AND ACETYLCHOLINESTERASE
L9             0 S L8 NOT PY>2002
L10           78 S L7 NOT PY>2002
L11            STRUCTURE UPLOADED

     FILE 'REGISTRY' ENTERED AT 09:18:27 ON 15 FEB 2007
L12           50 S L11
L13        38624 S L11  SUB=L5 FULL

     FILE 'CAPLUS' ENTERED AT 09:19:20 ON 15 FEB 2007
L14         1791 S L13/THU
L15          161 S L14 AND ALZHEIME?
L16            9 S L15 NOT PY>2002

     FILE 'USPATFULL' ENTERED AT 09:20:28 ON 15 FEB 2007
L17         3224 S L13
L18            0 S L17 AND ALZHEIE?
L19            0 S L17 AND ALZHIE?
L20          677 S L17 AND ALZHEIME?
L21          228 S L20 NOT PY>2003
L22            6 S L21 AND ACETYLCHOLINESTERASE

=> log hold
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                788.89    1067.78

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                  0.00      -9.36

 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 09:21:59 ON 15 FEB 2007

Connecting via Winsock to STN



Welcome to STN International!  Enter x:x

LOGINID:SSPTAEXO1623

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'USPATFULL' AT 09:42:47 ON 15 FEB 2007
FILE 'USPATFULL' ENTERED AT 09:42:47 ON 15 FEB 2007
CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)f

COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                788.89    1067.78
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)        SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                   0.00      -9.36

=> file registry
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                788.89    1067.78

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)        SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                   0.00      -9.36

FILE 'REGISTRY' ENTERED AT 09:42:54 ON 15 FEB 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   14 FEB 2007  HIGHEST RN 921041-62-5
DICTIONARY FILE UPDATES:  14 FEB 2007  HIGHEST RN 921041-62-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10691895specific.str
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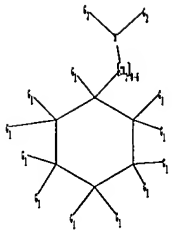
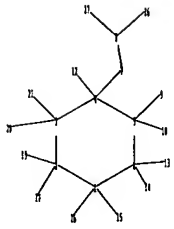




chain nodes :
7 8 9 10 12 13 14 15 16 17 19 20 21 26 27
ring nodes :
1 2 3 4 5 6
chain bonds :
1-15 1-16 2-17 2-19 3-20 3-21 4-7 4-12 5-9 5-10 6-13 6-14 7-8 8-26
8-27
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-15 1-16 2-17 2-19 3-20 3-21 4-12 5-9 5-10 6-13 6-14 8-26 8-27
exact bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 7-8
isolated ring systems :
containing 1 :

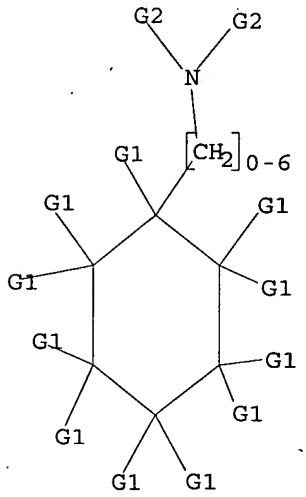
G1:H,CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,CF3,CCl3,CBr3,CI3

G2:H,CH2,CH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS
21:CLASS 26:CLASS
27:CLASS

L23 STRUCTURE UPLOADED

=> d l23
L23 HAS NO ANSWERS
L23 STR



G1 H,Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,CF3,CCl3,CBr3,CI3
G2 H,CH2,CH

Structure attributes must be viewed using STN Express query preparation.

=> s l23
SAMPLE SEARCH INITIATED 09:44:09 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 51277 TO ITERATE

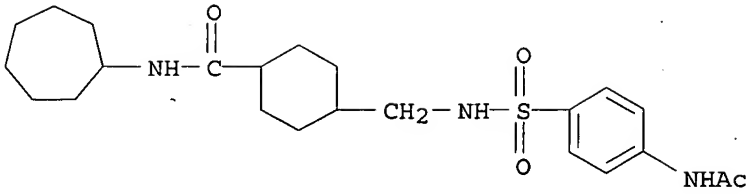
3.9% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1012032 TO 1039048
PROJECTED ANSWERS: 243530 TO 256932

L24 50 SEA SSS SAM L23

=> d l24 scan

L24 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C23 H35 N3 O4 S

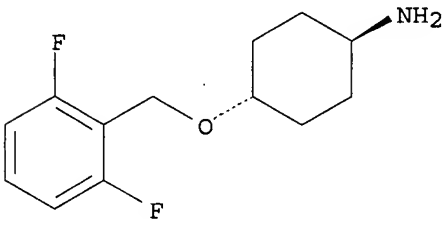


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

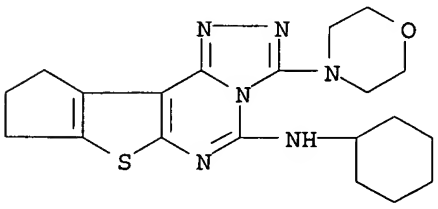
L24 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Cyclohexanamine, 4-[(2,6-difluorophenyl)methoxy]-, trans-
MF C13 H17 F2 N O

Relative stereochemistry.



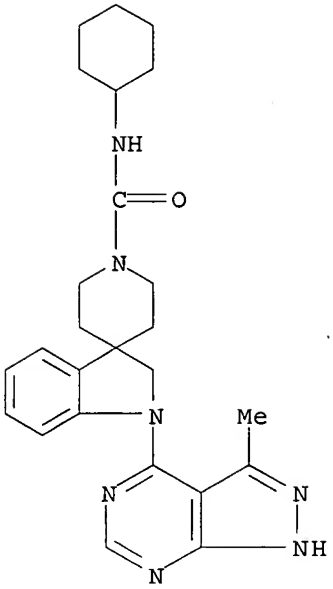
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L24 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C20 H26 N6 O S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

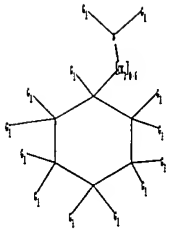
L24 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Spiro[3H-indole-3,4'-piperidine]-1'-carboxamide, N-cyclohexyl-1,2-dihydro-1-(3-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)- (9CI)
MF C25 H31 N7 O

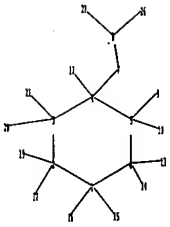


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=>
Uploading C:\Program Files\Stnexp\Queries\10691895specific2.str





chain nodes :
7 8 9 10 12 13 14 15 16 17 19 20 21 26 27
ring nodes :
1 2 3 4 5 6
chain bonds :
1-15 1-16 2-17 2-19 3-20 3-21 4-7 4-12 5-9 5-10 6-13 6-14 7-8 8-26
8-27
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-15 1-16 2-17 2-19 3-20 3-21 4-12 5-9 5-10 6-13 6-14 8-26 8-27
exact bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 7-8
isolated ring systems :
containing 1 :

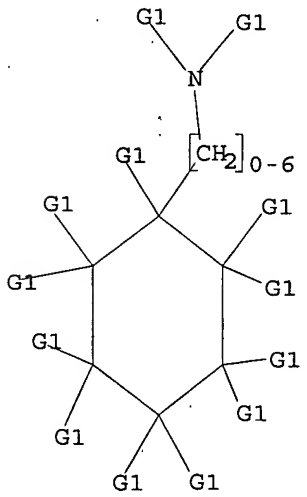
G1:H,CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,CF3,CCl3,CBr3,CI3

G2:H,CH2,CH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS
21:CLASS 26:CLASS
27:CLASS

L25 STRUCTURE UPLOADED

=> d l25
L25 HAS NO ANSWERS
L25 STR

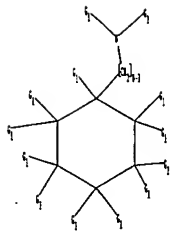


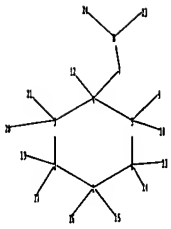
G1 H,Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,CF3,CCl3,CBr3,CI3
G2 H,CH2,CH

Structure attributes must be viewed using STN Express query preparation.

=> s l25
STRUCTURE TOO LARGE - SEARCH ENDED
A structure in your query is too large. You may delete
attributes or atoms to reduce the size of the structure
and try again.

=>
Uploading C:\Program Files\Stnexp\Queries\10691895specific3.str





chain nodes :
7 8 9 10 12 13 14 15 16 17 19 20 21 23 24
ring nodes :
1 2 3 4 5 6
chain bonds :
1-15 1-16 2-17 2-19 3-20 3-21 4-7 4-12 5-9 5-10 6-13 6-14 7-8 8-23
8-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-15 1-16 2-17 2-19 3-20 3-21 4-12 5-9 5-10 6-13 6-14 8-23 8-24
exact bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 7-8
isolated ring systems :
containing 1 :

G1:H,CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,CF3,CCl3,CBr3,CI3

Match level :

```
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
12:CLASS  13:CLASS  14:CLASS  15:CLASS  16:CLASS  17:CLASS  19:CLASS  20:CLASS
21:CLASS  23:CLASS
24:CLASS



L26     STRUCTURE UPLOADED

=> s l26
STRUCTURE TOO LARGE - SEARCH ENDED
A structure in your query is too large.  You may delete
attributes or atoms to reduce the size of the structure
and try again.

=> d his

     (FILE 'HOME' ENTERED AT 09:08:07 ON 15 FEB 2007)

     FILE 'REGISTRY' ENTERED AT 09:08:11 ON 15 FEB 2007
L1              STRUCTURE UPLOADED
L2           50 S L1
L3              STRUCTURE UPLOADED
L4           50 S L3
L5       282353 S L3 SSS FULL

     FILE 'CAPLUS' ENTERED AT 09:12:03 ON 15 FEB 2007
L6         9905 S L5/THU
L7          997 S L6 AND ALZHEIME?
L8           17 S L7 AND ACETYLCHOLINESTERASE
L9            0 S L8 NOT PY>2002
L10          78 S L7 NOT PY>2002
L11             STRUCTURE UPLOADED

     FILE 'REGISTRY' ENTERED AT 09:18:27 ON 15 FEB 2007
L12          50 S L11
L13       38624 S L11  SUB=L5 FULL

     FILE 'CAPLUS' ENTERED AT 09:19:20 ON 15 FEB 2007
L14        1791 S L13/THU
L15         161 S L14 AND ALZHEIME?
L16           9 S L15 NOT PY>2002

     FILE 'USPATFULL' ENTERED AT 09:20:28 ON 15 FEB 2007
L17        3224 S L13
L18           0 S L17 AND ALZHEIE?
L19           0 S L17 AND ALZHIE?
L20         677 S L17 AND ALZHEIME?
L21         228 S L20 NOT PY>2003
L22           6 S L21 AND ACETYLCHOLINESTERASE

     FILE 'REGISTRY' ENTERED AT 09:42:54 ON 15 FEB 2007
L23             STRUCTURE UPLOADED
L24          50 S L23
L25             STRUCTURE UPLOADED
L26             STRUCTURE UPLOADED

=> log hold
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 3.15    1070.93

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
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                                                          ENTRY     SESSION
CA SUBSCRIBER PRICE                                         0.00       -9.36

 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 09:46:56 ON 15 FEB 2007

Connecting via Winsock to STN



Welcome to STN International!  Enter x:x

LOGINID:SSPTAEXO1623

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 09:50:25 ON 15 FEB 2007
FILE 'REGISTRY' ENTERED AT 09:50:25 ON 15 FEB 2007
COPYRIGHT (C) 2007 American Chemical Society (ACS)f

COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                   3.15    1070.93

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                   0.00      -9.36

=>
Uploading
FUPLOAD IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

C:\Program Files\Stnexp\Queries\10691895specific4.str

L27     STRUCTURE UPLOADED

=> d l27
L27 HAS NO ANSWERS
L27             STR
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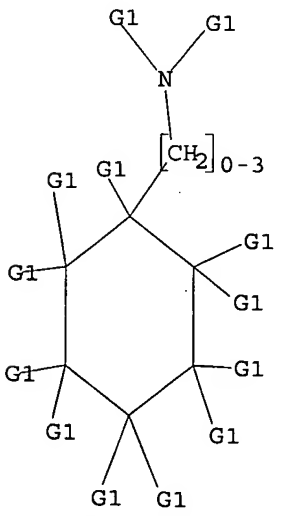


G1 H,Me,Et,n-Pr,i-Pr

Structure attributes must be viewed using STN Express query preparation.

=> s l27
SAMPLE SEARCH INITIATED 09:50:44 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 50836 TO ITERATE

3.9% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

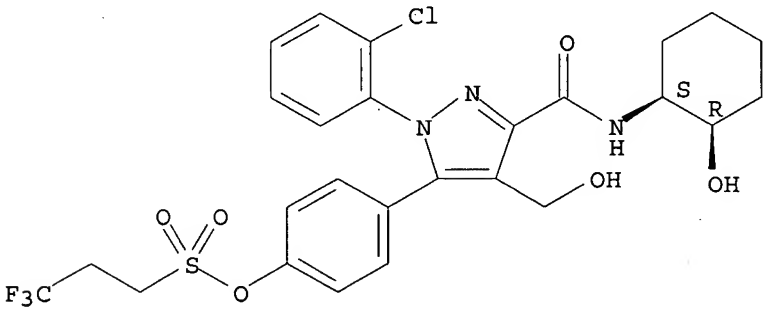
FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1003269 TO 1030171
PROJECTED ANSWERS: 231377 TO 244447

L28 50 SEA SSS SAM L27

=> d l28 scan

L28 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 1-Propanesulfonic acid, 3,3,3-trifluoro-, 4-[1-(2-chlorophenyl)-3-[[[(1S,2R)-2-hydroxycyclohexyl]amino]carbonyl]-4-(hydroxymethyl)-1H-pyrazol-5-yl]phenyl ester (9CI)
MF C26 H27 Cl F3 N3 O6 S

Absolute stereochemistry.

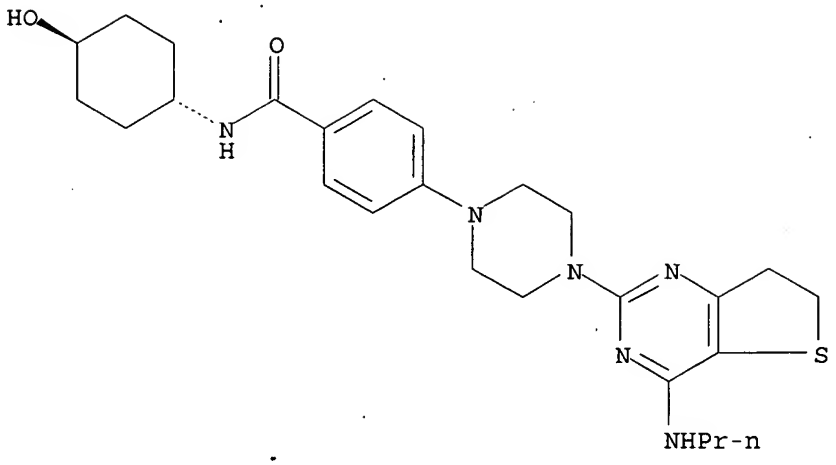


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

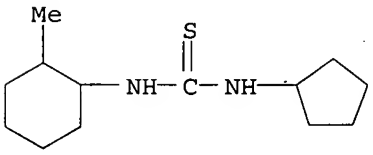
L28 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Benzamide, 4-[4-[6,7-dihydro-4-(propylamino)thieno[3,2-d]pyrimidin-2-yl]-1-piperazinyl]-N-(trans-4-hydroxycyclohexyl)- (9CI)
MF C26 H36 N6 O2 S

Relative stereochemistry.



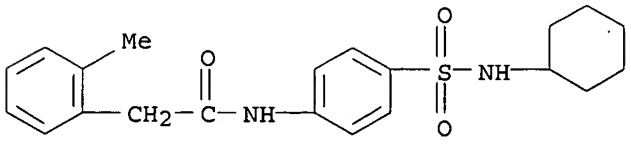
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Thiourea, N-cyclopentyl-N'-(2-methylcyclohexyl)- (9CI)
MF C13 H24 N2 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C21 H26 N2 O3 S



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=> file caplus
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	ENTRY	SESSION
FULL ESTIMATED COST	4.50	1072.28

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-9.36

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FILE LAST UPDATED: 14 Feb 2007 (20070214/ED)

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=> s aminocyclohexame
L29           0 AMINOCYCLOHEXAME

=> s aminocyclohexane
L30         600 AMINOCYCLOHEXANE

=> s aminocyclohex?
L31        4104 AMINOCYCLOHEX?

=> s l31 nad Alzheim?
MISSING OPERATOR L31 NAD
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.

=> s l31 and Alzheim?
          43444 ALZHEIM?
L32         106 L31 AND ALZHEIM?

=> s l32 not py>2002
        4909585 PY>2002
L33          11 L32 NOT PY>2002

=> d l33 1-11 ti

L33  ANSWER 1 OF 11  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Preparation of aminocyclohexyl ethers as ion channel modulating
     compounds

L33  ANSWER 2 OF 11  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Preparation of β-amino acid compounds useful for inhibiting
     β-amyloid peptide release and/or its synthesis

L33  ANSWER 3 OF 11  CAPLUS  COPYRIGHT 2007 ACS on STN
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TI Preparation of indolylpropanoyltetrahydroquinoline derivatives which inhibit binding of somatostatin receptors

L33 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
TI Mechanism-based inactivation and inhibition activity of conformationally restricted vigabatrin analogs with γ-aminobutyric acid aminotransferase.

L33 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
TI Optically active 1,4-dihydropyridines as bradykinin antagonists, their intermediates, preparation of their intermediates, and pharmaceutical compositions containing them

L33 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of novel cycloalkyl substituted imidazoles for treating cytokine mediated diseases

L33 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
TI 5-amino-6-cyclohexyl-4-hydroxy-hexanamide derivatives as inhibitors of β-amyloid protein production

L33 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of 5-amino-6-cyclohexyl-4-hydroxyhexanamide derivatives as inhibitors of beta-amyloid protein production for the treatment of Alzheimer's disease

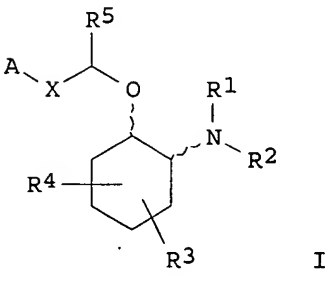
L33 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
TI Aminobenzoic acid derivatives for treatment of chronic inflammatory diseases

L33 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
TI Use of [11C]aminocyclohexanecarboxylate for the measurement of amino acid uptake and distribution volume in human brain

L33 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
TI Somatostatin analogs prepared and tested for use as growth hormone secretion inhibitors

=> d l33 1 2 3 4 6 7 8 9 10 11 ti abs bib

L33 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of aminocyclohexyl ethers as ion channel modulating compounds
GI



I

AB The title amines [I; R1, R2 = H, alkyl, alkoxyalkyl, etc.; NR1R2 = ring such as morpholino, 3-azabicyclo[3.2.2]nonane, etc.; R3, R4 = H, OH, alkyl, alkoxy; or when R3 and R4 are attached to the same ring atom, may

together form a spiro 5-6 membered heterocyclic ring; X = a bond, alkenylene, etc.; A = hydrophobic moiety such as Ph, naphthyl, indenyl, etc.; R5 = H, alkyl, aryl, CH2Ph], useful as ion channel modulating compds. were prepared E.g., a multi-step synthesis of (±)-trans-[2-(4-morpholinyl)-1-(2-naphth-2-ylethoxy)]cyclohexane.HCl, starting from morpholine and cyclohexene oxide, was given. The compds. I were tested in various tests (biol. data given). The compds. I may be incorporated in compns. and kits. The present invention also discloses a variety of in vitro and in vivo uses for the compds. I and compns., including the treatment of arrhythmia and the production of analgesia and local anesthesia.

AN 2004:396011 CAPLUS <<LOGINID::20070215>>
DN 141:190792
TI Preparation of aminocyclohexyl ethers as ion channel modulating compounds
IN Bain, Allen I.; Longley, Cindy J.; Beatch, Gregory N.; Sheng, Tao; Walker, Michael J. A.; Wall, Richard A.; Plouvier, Bertrand M. C.; Zhu, Jiqun; Zolotoy, Alexander B.; Yong, Sandro L.
PA Nortran Pharmaceuticals Inc., Can.
SO Can. Pat. Appl., 158 pp.
CODEN: CPXXEB
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CA 2268590	A1	20001012	CA 2000-2268590	19990412
PRAI CA 2000-2268590		19990412		

OS MARPAT 141:190792

L33 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of β-amino acid compounds useful for inhibiting β-amyloid peptide release and/or its synthesis
AB β-Amino acid-containing compds. R1-Z-CONHCHR2CHR3CONHCHR4CO-W [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl, aryl, heteroaryl, heterocyclyl; R2, R3 = H, alkyl, cycloalkyl, aryl; R4 = H, (un)substituted alkyl, aryl, alkaryl, or cycloalkyl; Z is -CX'X''-, where X', X'' = H, OH, F or X'X" = oxo; W is OR5 or NR6R7, where R5, R6, and R7 = H, (un)substituted alkyl or cycloalkyl, aryl, or alkaryl or NR6R7 is a cyclic group] were prepared for inhibiting β-amyloid peptide release and/or its synthesis and are useful in treating Alzheimer 's disease and cognition enhancement. Thus, N-methyl-N-[N-[N-[(S)-3,5-difluorophenyl-α-hydroxyacetyl]-(R or S)-β-methyl-β-alaninyl]-L-phenylglycinyl]aminocyclohexane isomers were prepared via coupling of (R/S)-N-Boc-β-methyl-β-alanine with N-methyl-N-(L-phenylglycinyl)aminocyclohexane (prepn.given). Compds. of the invention were assayed for their ability to inhibit β-amyloid production (formulations described).

AN 2001:360030 CAPLUS <<LOGINID::20070215>>
DN 134:367192
TI Preparation of β-amino acid compounds useful for inhibiting β-amyloid peptide release and/or its synthesis
IN Audia, James Edmund; Porter, Warren Jaye; Scott, William Leonard; Stack, Douglas Richard; Thompson, Richard Craig
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001034639	A2	20010517	WO 2000-US26277	20001026
WO 2001034639	A3	20020711		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

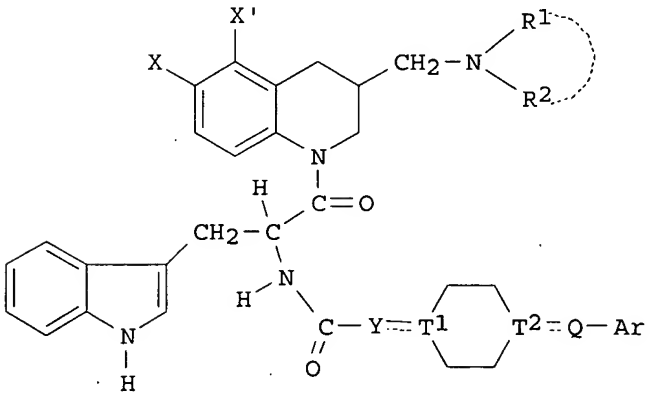
CA 2388750	A1	20010517	CA 2000-2388750	20001026
EP 1235789	A2	20020904	EP 2000-978212	20001026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
PRAI US 1999-164349P	P	19991109		
WO 2000-US26277	W	20001026		

OS MARPAT 134:367192

L33 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of indolylpropanoyltetrahydroquinoline derivatives which inhibit binding of somatostatin receptors

GI



I

AB The title compds. I [X and X' are the same or different and each represents hydrogen, fluorine, etc., provided that at least one of X and X' represents fluorine, chlorine, etc.; R1 and R2 represents each hydrogen or optionally substituted C1-6 alkyl, or R1 and R2 form together with the nitrogen atom adjacent thereto an optionally substituted nitrogen-containing heterocycle; Y and Q are the same or different and each represents a bond or a spacer having 1 to 6 atoms in the main chain; the dotted line represents a single or double bond; T1 and T2 represent each C(R9) (wherein R9 represents hydrogen, hydroxy, etc.), N, etc.; and Ar represents an optionally substituted aromatic group, hydrogen, etc.; a provision is given] are prepared In an in vitro test for inhibition of binding to the somatostatin receptor type 2, several compds. of this invention showed IC50 of 0.6 to 2 nM. Formulations are given.

AN 2001:265411 CAPLUS <<LOGINID::20070215>>

DN 134:295840

TI Preparation of indolylpropanoyltetrahydroquinoline derivatives which inhibit binding of somatostatin receptors

IN Kato, Kaneyoshi; Terauchi, Jun; Suzuki, Nobuhiro; Takekawa, Shiro

PA Tadeka Chemical Industries, Ltd., Japan

SO PCT Int. Appl., 220 pp.
CODEN: PIXXD2

DT Patent

LA Japanese
FAN.CNT 1

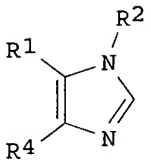
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001025228	A1	20010412	WO 2000-JP6937	20001005
	W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2386517	A1	20010412	CA 2000-2386517	20001005
	AU 2000075568	A	20010510	AU 2000-75568	20001005
	JP 2002088079	A	20020327	JP 2000-311723	20001005
	EP 1227090	A1	20020731	EP 2000-964676	20001005
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
PRAI	JP 1999-286939	A	19991007		
	JP 2000-215837	A	20000711		
	WO 2000-JP6937	W	20001005		

OS MARPAT 134:295840
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
TI Mechanism-based inactivation and inhibition activity of conformationally restricted vigabatrin analogs with γ-aminobutyric acid aminotransferase.
AB γ-aminobutyric acid (GABA) is the major inhibitory neurotransmitter in the mammalian central nervous system. The major pathway for its degradation is via transamination with α-ketoglutarate catalyzed by GABA aminotransferase (GABA-AT). Inhibition of this enzyme results in an increase in availability of GABA and could have therapeutic application in neurol. disorders including epilepsy, Parkinson's disease and Alzheimer's disease. Selective inactivation of GABA-AT by vigabatrin (4-aminohex-5-enoic acid; γ-vinyl-GABA), a mechanism-based inactivator of the enzyme that functions by two different inactivation pathways, is already successfully applied in treatment of epilepsy. Because of the success of this inactivator as a drug, efforts have been made to exploit the potential for high specificity afforded by appropriately designed mechanism-based inactivators. One approach is to prohibit one of the possible vigabatrin inactivation mechanisms and enhance the other. A series of conformationally-rigid vigabatrin analogs have been designed and synthesized to explore this approach. Cis-3-aminocyclohex-4-ene-1-carboxylic acid and cis-2-aminocyclohex-3-ene-1-carboxylic acid exhibit time- and concentration-dependent, irreversible inactivation of GABA-AT as potential mechanism-based inactivators; whereas trans-3-aminocyclohex-4-ene-1-carboxylic acid and trans-2-aminocyclohex-3-ene-1-carboxylic acid are competitive reversible inhibitors of the enzyme. These differences of the regio- and stereoisomers were investigated by mol. modeling, and their mechanisms of inactivation were also studied.
AN 2000:331935 CAPLUS <<LOGINID::20070215>>
TI Mechanism-based inactivation and inhibition activity of conformationally restricted vigabatrin analogs with γ-aminobutyric acid aminotransferase.
AU Choi, Sun; Silverman, Richard B.
CS Department of Chemistry and Department of Biochemistry, Molecular Biology and Cell Biology, Northwestern University, Evanston, IL, 60208, USA
SO Book of Abstracts, 219th ACS National Meeting, San Francisco, CA, March 26-30, 2000 (2000), MEDI-322 Publisher: American Chemical Society,

Washington, D. C.
CODEN: 69CLAC
DT Conference; Meeting Abstract
LA English

L33 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of novel cycloalkyl substituted imidazoles for treating cytokine mediated diseases
GI



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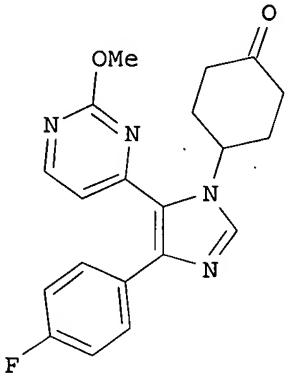


II

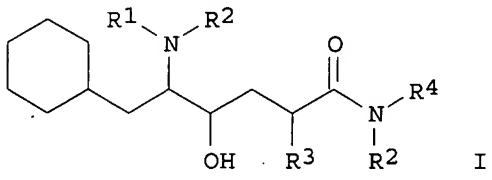
AB The title compds. [I; R1 = (un)substituted heterocyclyl; R2 = (un)substituted C3-7 cycloalkyl, C3-7 cycloalkylC1-10alkyl; R4 = (un)substituted Ph, naphthyl, heterocyclyl], useful in the treatment of inflammation, osteoporosis and CSBP/RK/p38 kinase mediated diseases such as psoriatic arthritis, Reiter's syndrome, rheumatoid arthritis, sepsis, septic shock, Alzheimer's disease, stroke, asthma, ARDS, cerebral malaria, chronic pulmonary inflammatory disease, silicosis, restenosis, congestive heart failure, chronic renal failure, thrombosis, diabetes, eczema, and psoriasis, were prepared E.g. a multi-step synthesis of imidazole II which showed IC50 of < 50 μM in cytokine specific binding protein assay, is given.
AN 1999:48720 CAPLUS <<LOGINID::20070215>>
DN 130:125073
TI Preparation of novel cycloalkyl substituted imidazoles for treating cytokine mediated diseases
IN Adams, Jerry Leroy; Boehm, Jeffrey Charles; Garigipati, Ravi Shanker
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9901452	A1	19990114	WO 1998-US13800	19980701
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2295762	A1	19990114	CA 1998-2295762	19980701

	AU 9883810	A	19990125	AU 1998-83810	19980701
	EP 1019396	A1	20000719	EP 1998-934242	19980701
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
	JP 2002509537	T	20020326	JP 1999-507383	19980701
	US 6251914	B1	20010626	US 1999-445857	19991215
PRAI	US 1997-51510P	P	19970702		
	WO 1998-US13800	W	19980701		

OS MARPAT 130:125073
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
TI 5-amino-6-cyclohexyl-4-hydroxy-hexanamide derivatives as inhibitors of β-amyloid protein production
GI



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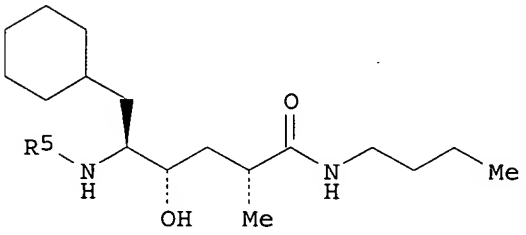


II

AB A series of peptidic cyclohexylhexanamide derivs. I [R1 = C4-8 alkyl or alkenyl, C1-4 alkoxy or alkanediyl, (un)substituted C3-6 cycloalkyl or cycloalkyl-lower-alkanediyl, (un)substituted arylalkyl; R2 = H, Me; R3 = alkyl, C3-6 cycloalkyl, cycloalkyl-lower-alkanediyl, alkenyl, (un)substituted arylalkyl; R4 = R3, alkylthioalkyl, CH(R6)CONHR6; R6 = lower alkyl] or their pharmaceutically acceptable salts, were prepared as inhibitors of γ-secretase, thereby acting to prevent the accumulation of β-amyloid protein deposits in the brain. For example, cyclohexylhexanamide II (R5 = H) was reacted with 4-methylvaleraldehyde in the presence of NaBH(OAc)3 and the free base salified with HCl, to give the HCl salt of II [R5 = Me2C(CH2)3], which inhibited γ-secretase at < = 10 μM. Compds. I are expected to be effective in treating patients suffering from or susceptible to conditions or disorders linked to brain accumulation of β-amyloid protein; e.g., Alzheimer's Disease and Down's Syndrome.

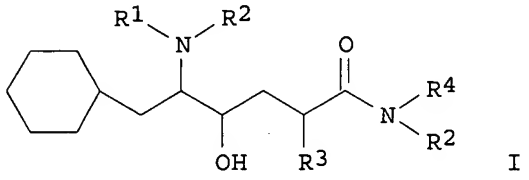
AN 1998:15715 CAPLUS <<LOGINID::20070215>>
DN 128:102390
TI 5-amino-6-cyclohexyl-4-hydroxy-hexanamide derivatives as inhibitors of β-amyloid protein production
IN Felsenstein, Kevin; Smith, David W.; Poss, Michael A.; Chaturvedula, Prasad; Sloan, Charles P.
PA Bristol-Myers Squibb Co., USA
SO U.S., 18 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5703129	A	19971230	US 1996-723488	19960930
PRAI	US 1996-723488		19960930		
OS	MARPAT 128:102390				

L33 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of 5-amino-6-cyclohexyl-4-hydroxyhexanamide derivatives as inhibitors of beta-amyloid protein production for the treatment of Alzheimer's disease

GI



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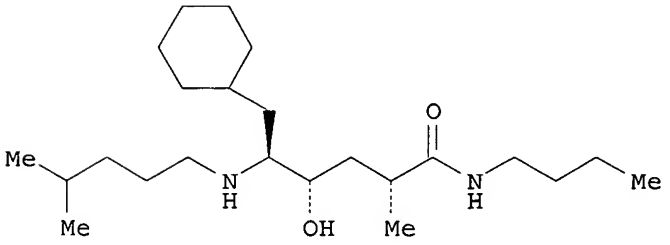


@ HCl

II

AB The peptidic title cyclohexanehexanamides I [R1 = C4-8 alkyl, alkenyl, (un)substituted arylalkyl, alkoxyalkyl, (un)substituted cycloalkyl; R2 = H, Me; R3 = alkyl, cycloalkyl, (cycloalkyl)alkyl, alkenyl, arylalkyl; R4 = R3, alkylthioalkyl, CH(R6)CONHR6; R6 = lower alkyl], useful for inhibiting γ-secretase, which, in turn, inhibits the brain's formation of β-amyloid protein, the reputed cause of Alzheimer's cerebral pathol., were prepared Thus, [αS-(αR*,γR*, δR*)]-δ-amino-N-butyl-γ-hydroxy-α-methylcyclohexanehexanamide was reacted with 4-methylvaleraldehyde in the presence of NaBH(OAc)3 and the free base salified with HCl, producing the cyclohexanehexanamide II, which inhibited γ-secretase at 10 μM.

AN 1997:470004 CAPLUS <<LOGINID::20070215>>

DN 127:109192

TI Preparation of 5-amino-6-cyclohexyl-4-hydroxyhexanamide derivatives as inhibitors of beta-amyloid protein production for the treatment of Alzheimer's disease

IN Felsenstein, Kevin; Smith, David W.; Poss, Michael A.; Chaturvedula, Prasad; Sloan, Charles P.

PA Bristol-Myers Squibb Company, USA

SO Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 778266	A1	19970611	EP 1996-308768	19961204
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				

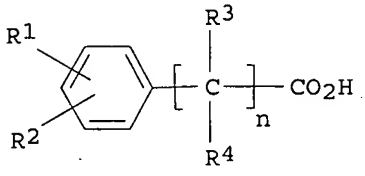
	CA 2191924	A1	19970606	CA 1996-2191924	19961203
	AU 9674121	A	19970612	AU 1996-74121	19961204
	AU 704145	B2	19990415		
	JP 09169713	A	19970630	JP 1996-324904	19961205
PRAI	US 1995-7972P	P	19951205		

OS MARPAT 127:109192

L33 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

TI Aminobenzoic acid derivatives for treatment of chronic inflammatory diseases

GI



I

AB Aminobenzoic acid derivs. and analogs [I; R1 = NH2, C1-10 aminoalkyl, C(:NH)NH2, (CH2)nNHC(:NH)NH2, (CH2)mCH:NC(:NH)NH2, (CH2)nNHC(:NH)NHNH2, (CH2)mCH:NC(:NH)NHNH2, (CH2)nNHNHC(:NH)NH2, (CH2)mCH:NNHC(:NH)NH2; m = 1-10; n = 0-10; R2 = H, OH, C1-10 alkoxy, C1-10 aminoalkyl, SO3H, C1-11 alkyl; R3, R4 = H, OH, Me; p = 0, 1] and their salts, esters, and amides are useful for clin. treatment of chronic inflammatory diseases including arthritis, ileitis, and colitis, as well as trauma resulting from ischemia and subsequent reperfusion. Increased lipid peroxidn. is common to the etiol. of all these clin. disorders. Such increased lipid peroxidn. generates carbonyl substances which are cytotoxic and addnl. serve to perpetuate and disseminate the inflammatory process. I are administered orally as carbonyl trapping agents which act by chemical binding to and sequestering the aldehyde and/or ketone products of lipid peroxidn. P-Aminobenzoic acid, a suitable example of I, has a small mol. weight, is water soluble, has a primary amine group which should react with carbonyl-containing metabolites under physiol. conditions, and is tolerated by the body in relatively high dosages and for extended periods. I may optionally be administered together with an antioxidant free radical-trapping substance and ≥1 medicament effective for treating chronic inflammatory diseases to produce an additive or synergistic effect. Thus, a topical composition for treatment of chronic gingivitis or periodontitis contained p-aminomethylbenzoic acid 5, acetylhomocysteine thiolactone 1, and metronidazole 2 g.

AN 1996:123687 CAPLUS <<LOGINID::20070215>>

DN 124:185543

TI Aminobenzoic acid derivatives for treatment of chronic inflammatory diseases

IN Shapiro, Howard K.

PA USA

SO PCT Int. Appl., 148 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9531194	A1	19951123	WO 1995-US6044	19950511
	W: AU, CA, JP, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

	CA 2190107	A1	19951123	CA 1995-2190107	19950511
	AU 9526378	A	19951205	AU 1995-26378	19950511
	AU 698881	B2	19981112		
	EP 759750	A1	19970305	EP 1995-921256	19950511
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
PRAI	US 1994-241603	A	19940511		
	WO 1995-US6044	W	19950511		

OS MARPAT 124:185543

L33 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

TI Use of [11C]aminocyclohexanecarboxylate for the measurement of amino acid uptake and distribution volume in human brain

AB A quant. positron emission tomog. (PET) method to measure amino acid blood-brain barrier (BBB) transport rate and tissue distribution volume (DV) was developed using [11C]aminocyclohexanecarboxylate (ACHC), a nonmetabolized amino acid analog. Dynamic PET data were acquired as a series of 15 scans covering a total of 60 min and analyzed by means of a 2-compartment, 2-parameter model. Functional images were calculated for the amino acid transport rate consts. across the BBB and the amino acid DV in the brain. [11C]ACHC has an influx rate constant in gray matter of γ0.03-0.04 mL/g/min, indicating a single-pass extraction fraction of .apprx.5-7%. The intersubject coefficient of variation was .apprx.15%, whereas intrasubject variability of repeat scans was only slightly >5%. Studies were performed in 15 young normal volunteer control subjects, 5 elderly controls, 7 patients with probable Alzheimer's disease, and 1 patient with phenylketonuria. [11C]ACHC will serve as the basis of a method for measuring amino acid transport rate and DV in the normal and pathol. human brain.

AN 1991:404239 CAPLUS <<LOGINID::20070215>>

DN 115:4239

TI Use of [11C]aminocyclohexanecarboxylate for the measurement of amino acid uptake and distribution volume in human brain

AU Koeppe, Robert A.; Mangner, Thomas; Betz, A. Lorris; Shulkin, Barry L.; Allen, Richard; Kollros, Peter; Kuhl, David E.; Agranoff, Bernard W.

CS Med. Sch., Univ. Michigan, Ann Arbor, MI, 48109-0552, USA

SO Journal of Cerebral Blood Flow and Metabolism (1990), 10(5), 727-39
CODEN: JCBMDN; ISSN: 0271-678X

DT Journal

LA English

L33 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

TI Somatostatin analogs prepared and tested for use as growth hormone secretion inhibitors

GI For diagram(s), see printed CA Issue.

AB Somatostatin analogs containing ≥1 of a) HOCH2(CHOH)m(CY1Y2)nCH2, Y1 = H; Y2 = H, OH; b)HOCH2(CHOH)n CH(CH2OH); and c) R1R2NX R1 = H; R2 = H, protecting group; X = C2-6 alkylene; m, n = 0, 1) (I), specifically R3R4NCHR5CONR6CH(CH2SR7)COX1X2X3X4NHCH(X5)CH2SR8 [R3 = a, b, or c above; R4 = R3, H, C1-12 alkyl, C1-4 alkanoyl, C1-10 phenylalkyl; R5 = amino acid side chain, e.g., of (substituted) D- or L-Phe; R6 = H, C1-3 alkyl; R7, R8 = H, COCR9R10(CH2)oH, CONHR11, CONHCHR12COyR13, etc.; R7R8 = bond; R9 = Me, Et; R10 = H, Me, Et; R11 = C1-6 alkyl; R12 = amino acid side chain; R13 = C1-5 alkyl; X1 = (substituted) Phe, 3-(2-naphthyl)alanyl; X2 = (substituted) D- or L-Trp; X3 = (substituted) Lys, Orn, 4-aminocyclohexylalanyl, 4-aminocyclohexylglycyl; X4 = Thr, Ser, Val, Ile, amino(iso)butyryl; X5 = CO2R14, CH2OR15, CONR16R17, etc.; R14 = H, C1-3 alkyl; R15 = H, physiol. hydrolyzable ester residue; R16 = H, C1-3 alkyl, Ph, phenylalkyl; R17 = H, C1-3 alkyl, CHR18R19; R18 = amino acid side chain, CH2OH, HOCH2CH2, HO(CH)3, CHMeOH; R19 = CO2R14, CH2OR15, CONR20R21; R20 = H, C1-3 alkyl; R21 = H, C1-3 alkyl, Ph, phenylalkyl], useful as inhibitors of growth hormone-, pancreas- and stomach secretion inhibitors, etc., were prepared Thus, II [R30 = H, R31 = Me3CO2C, R32 = MeCH(OH)CH(CH2OH)NH] (preparation given) in dioxane/H2O was stirred with NaBH3CN and glyceraldehyde at pH 7 at 100° for 6 h

followed by deprotection with CF3CO2H to give II [R30 = HOCH2CH(OH)CH2, R31 = H, R32 = MeCH(OH)CH(CH2OH)NH]. I inhibited growth hormone secretion in rats at 0.02-100 μg/kg s.c.

AN 1990:158980 CAPLUS <<LOGINID::20070215>>
DN 112:158980
TI Somatostatin analogs prepared and tested for use as growth hormone secretion inhibitors
IN Albert, Rainer; Bauer, Wilfried; Cardinaux, Francois; Pless, Janos
PA Sandoz-Patent-G.m.b.H., Japan
SO Ger. Offen., 14 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3910667	A1	19891019	DE 1989-3910667	19890403
	GB 2224280	A	19900502	GB 1989-7902	19890407
	GB 2224280	B	19920708		
	CH 677795	A5	19910628	CH 1989-1301	19890407
	AU 8932639	A	19891012	AU 1989-32639	19890410
	DK 8901714	A	19891012	DK 1989-1714	19890410
	SE 8901278	A	19891012	SE 1989-1278	19890410
	FR 2629824	A1	19891013	FR 1989-4785	19890410
	FR 2629824	B1	19950310		
	NL 8900882	A	19891101	NL 1989-882	19890410
	JP 01305098	A	19891208	JP 1989-90507	19890410
	BE 1003200	A4	19920114	BE 1989-400	19890410
	ES 2013431	A6	19900501	ES 1989-1255	19890411
	ZA 8902644	A	19901228	ZA 1989-2644	19890411
	GB 2227488	A	19900801	GB 1989-24612	19891101
PRAI	GB 1988-8442	A	19880411		
	GB 1988-26452	A	19881111		
	GB 1989-7902	A	19890407		

OS MARPAT 112:158980

=> d his

(FILE 'HOME' ENTERED AT 09:08:07 ON 15 FEB 2007)

FILE 'REGISTRY' ENTERED AT 09:08:11 ON 15 FEB 2007
L1 STRUCTURE UPLOADED
L2 50 S L1
L3 STRUCTURE UPLOADED
L4 50 S L3
L5 282353 S L3 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:12:03 ON 15 FEB 2007
L6 9905 S L5/THU
L7 997 S L6 AND ALZHEIME?
L8 17 S L7 AND ACETYLCHOLINESTERASE
L9 0 S L8 NOT PY>2002
L10 78 S L7 NOT PY>2002
L11 STRUCTURE UPLOADED

FILE 'REGISTRY' ENTERED AT 09:18:27 ON 15 FEB 2007
L12 50 S L11
L13 38624 S L11 SUB=L5 FULL

FILE 'CAPLUS' ENTERED AT 09:19:20 ON 15 FEB 2007
L14 1791 S L13/THU
L15 161 S L14 AND ALZHEIME?
L16 9 S L15 NOT PY>2002

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     FILE 'USPATFULL' ENTERED AT 09:20:28 ON 15 FEB 2007
L17         3224 S L13
L18            0 S L17 AND ALZHEIE?
L19            0 S L17 AND ALZHIE?
L20          677 S L17 AND ALZHEIME?
L21          228 S L20 NOT PY>2003
L22            6 S L21 AND ACETYLCHOLINESTERASE

     FILE 'REGISTRY' ENTERED AT 09:42:54 ON 15 FEB 2007
L23               STRUCTURE UPLOADED
L24           50 S L23
L25               STRUCTURE UPLOADED
L26               STRUCTURE UPLOADED
L27               STRUCTURE UPLOADED
L28           50 S L27

     FILE 'CAPLUS' ENTERED AT 09:51:56 ON 15 FEB 2007
L29            0 S AMINOCYCLOHEXAME
L30          600 S AMINOCYCLOHEXANE
L31         4104 S AMINOCYCLOHEX?
L32          106 S L31 AND ALZHEIM?
L33           11 S L32 NOT PY>2002

=> log hold
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    43.50    1115.78

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                    -7.80     -17.16

 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 09:53:31 ON 15 FEB 2007

Connecting via Winsock to STN



Welcome to STN International!  Enter x:x

LOGINID:SSPTAEXO1623

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'CAPLUS' AT 10:00:08 ON 15 FEB 2007
FILE 'CAPLUS' ENTERED AT 10:00:08 ON 15 FEB 2007
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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    43.50    1115.78

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                    -7.80     -17.16

=> file registry
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                    43.50    1115.78
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)        SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                  -7.80     -17.16

FILE 'REGISTRY' ENTERED AT 10:00:16 ON 15 FEB 2007
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STRUCTURE FILE UPDATES:   14 FEB 2007  HIGHEST RN 921041-62-5
DICTIONARY FILE UPDATES:  14 FEB 2007  HIGHEST RN 921041-62-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> FIL STNGUIDE
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                   0.45    1116.23

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)        SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                   0.00     -17.16

FILE 'STNGUIDE' ENTERED AT 10:00:29 ON 15 FEB 2007
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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb  9, 2007 (20070209/UP).

=> file registry
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
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FULL ESTIMATED COST                                   0.06    1116.29

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)        SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                   0.00     -17.16

FILE 'REGISTRY' ENTERED AT 10:00:39 ON 15 FEB 2007
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STRUCTURE FILE UPDATES:   14 FEB 2007  HIGHEST RN 921041-62-5
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DICTIONARY FILE UPDATES: 14 FEB 2007 HIGHEST RN 921041-62-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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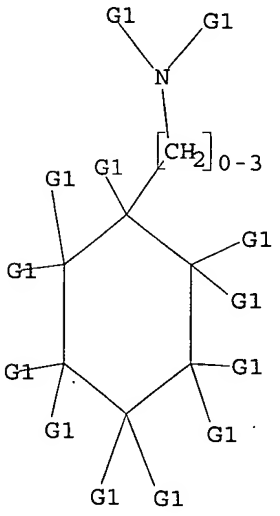
L27 HAS NO ANSWERS
L27 STR



G1 H,Me,Et,n-Pr,i-Pr

Structure attributes must be viewed using STN Express query preparation.

=> s l27 fam full
STRUCTURES CONTAINING VARIABLE NODES NOT VALID IN EXACT OR FAMILY SEARCH
You have requested a full structure (EXA or FAM) search on a structure containing one of the special variable-atom symbols A, M, Q, or X, or a variable group G. Only bond variability is allowed in structures for EXA or FAM searches. Variable nodes are never permitted.

=> log hold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.45	1116.74

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-17.16

SESSION WILL BE HELD FOR 120 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 10:00:56 ON 15 FEB 2007

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAEXO1623

PASSWORD:
* * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
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FILE 'REGISTRY' ENTERED AT 10:04:40 ON 15 FEB 2007
COPYRIGHT (C) 2007 American Chemical Society (ACS)f

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.45	1116.74

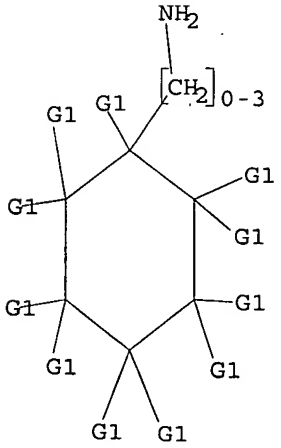
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-17.16

=>
Uploading
FUPLOAD IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

C:\Program Files\Stnexp\Queries\1069189fspecific5.str

L34 STRUCTURE UPLOADED

=> d l34
L34 HAS NO ANSWERS
L34 STR



G1 H,Me,Et,n-Pr,i-Pr

Structure attributes must be viewed using STN Express query preparation.

=> s l34 sss sam

SAMPLE SEARCH INITIATED 10:05:09 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 50836 TO ITERATE

3.9% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

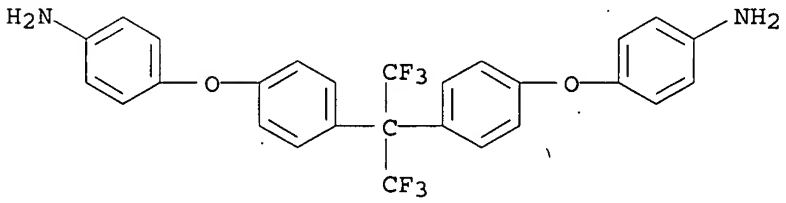
FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1003269 TO 1030171
PROJECTED ANSWERS: 48305 TO 54383

L35 50 SEA SSS SAM L34

=> d l35 scan

L35 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Benzoic acid, 3,5-diamino-, polymer with 1,4-benzenediamine, 1H,3H-benzo[1,2-c:4,5-c']difuran-1,3,5,7-tetrone, [5,5'-biisobenzofuran]-1,1',3,3'-tetrone, 3a,4,4a,7a,8,8a-hexahydro-4,8-etheno-1H,3H-benzo[1,2-c:4,5-c']difuran-1,3,5,7-tetrone, 4,4'-methylenebis[2-methylcyclohexanamine] and 4,4'-[[2,2,2-trifluoro-1-(trifluoromethyl)ethylidene]bis(4,1-phenyleneoxy)]bis[benzenamine], triblock (9CI)
MF (C27 H20 F6 N2 O2 . C16 H6 O6 . C15 H30 N2 . C12 H8 O6 . C10 H2 O6 . C7 H8 N2 O2 . C6 H8 N2)x
CI PMS

CM 1



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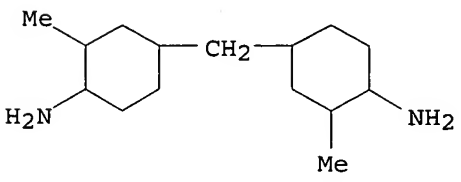

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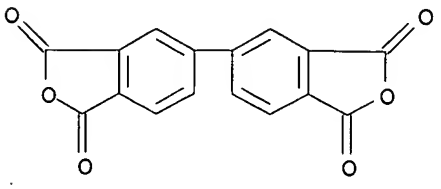
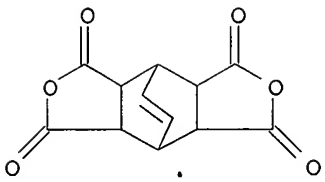
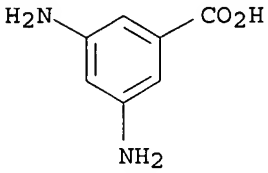


CM 4



CM 5



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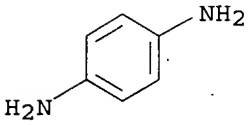
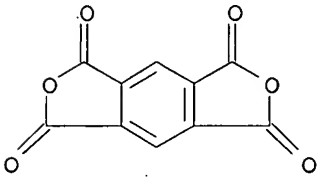


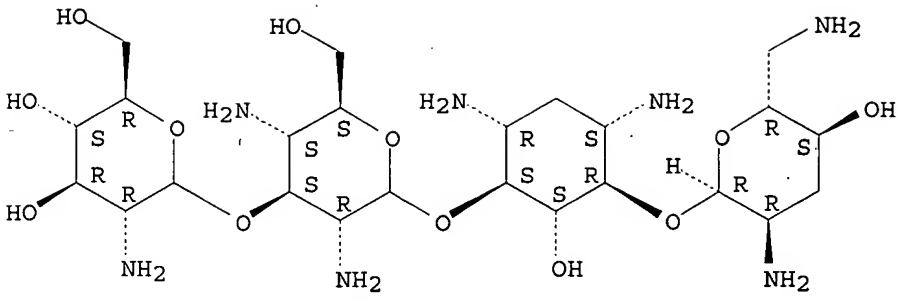
CM 7



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):4

L35 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN D-Streptamine, O-2-amino-2-deoxy-D-glucopyranosyl-(1→3)-O-2,4-diamino-2,4-dideoxy-D-glucopyranosyl-(1→6)-O-[2,6-diamino-2,3,6-trideoxy-α-D-ribo-hexopyranosyl-(1→4)]-2-deoxy- (9CI)
MF C24 H49 N7 O12

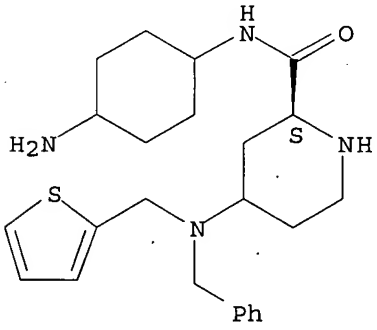
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

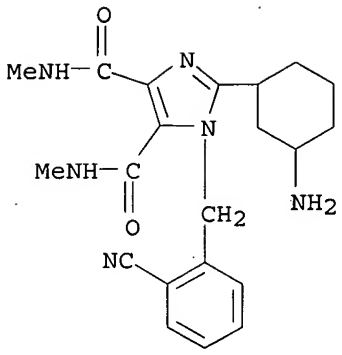
L35 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 2-Piperidinecarboxamide, N-(4-aminocyclohexyl)-4-[(phenylmethyl)(2-thienylmethyl)amino]-, (2S)- (9CI)
MF C24 H34 N4 O S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

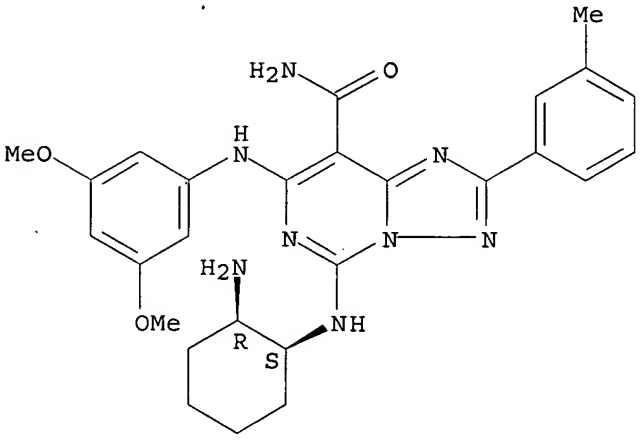
L35 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C21 H26 N6 O2 . Cl H



● HCl

L35 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN [1,2,4]Triazolo[1,5-c]pyrimidine-8-carboxamide, 5-[[(1R,2S)-2-aminocyclohexyl]amino]-7-[(3,5-dimethoxyphenyl)amino]-2-(3-methylphenyl)-, rel- (9CI)
MF C27 H32 N8 O3
CI COM

Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> log hold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.35	1117.64
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-17.16

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 SESSION WILL BE HELD FOR 120 MINUTES
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PASSWORD:
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SESSION RESUMED IN FILE 'REGISTRY' AT 10:08:45 ON 15 FEB 2007
FILE 'REGISTRY' ENTERED AT 10:08:45 ON 15 FEB 2007
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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       1.35    1117.64

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                       0.00     -17.16

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       1.35    1117.64

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                       0.00     -17.16

FILE 'CAPLUS' ENTERED AT 10:08:55 ON 15 FEB 2007
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FILE COVERS 1907 - 15 Feb 2007  VOL 146 ISS 8
FILE LAST UPDATED: 14 Feb 2007  (20070214/ED)

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=> s NMDA and Alzheimer? and aminocyclohex?
         27132 NMDA
         43444 ALZHEIMER?
          4104 AMINOCYCLOHEX?
L36          5 NMDA AND ALZHEIMER? AND AMINOCYCLOHEX?
```

```
=> d l36 1-5 ti

L36  ANSWER 1 OF 5  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Use of 1-aminocyclohexane derivatives to modify deposition of
     fibrillogenic Aβ peptides in amyloidopathies

L36  ANSWER 2 OF 5  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Preparation of cycloalkylaminopyrazolopyrimidines as N-methyl-D-aspartate
     NR2B antagonists

L36  ANSWER 3 OF 5  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Preparation of 4-cycloalkylaminopyrazolopyrimidines as nmda/nr2b
     antagonists

L36  ANSWER 4 OF 5  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   NMDA receptor antagonists and their use in inhibiting abnormal
     hyperphosphorylation of protein Tau

L36  ANSWER 5 OF 5  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Preparation of 2-phenylbenzoxazoles as metabotropic glutamate receptor-5
     modulators for treatment of pain and CNS disorders


=> d l36 1-5 ti abs bib

L36  ANSWER 1 OF 5  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Use of 1-aminocyclohexane derivatives to modify deposition of
     fibrillogenic Aβ peptides in amyloidopathies
AB   The invention discloses the use of NMDA receptor antagonists
     such as 1-aminocyclohexane derivs. to modify deposition of
     potentially toxic and fibrillogenic Aβ peptides in amyloidopathies.
     Specifically, the invention relates to the ability of memantine to
     intervene in the processing of APP and decrease the levels of
     fibrillogenic Aβ peptides.
AN   2005:453815  CAPLUS <<LOGINID::20070215>>
DN   143:1308
TI   Use of 1-aminocyclohexane derivatives to modify deposition of
     fibrillogenic Aβ peptides in amyloidopathies
IN   Gupta, Sandeep; Banerjee, Pradeep; Lahiri, Debomoy K.; Farlow, Martin
PA   Forest Laboratories, Inc., USA
SO   U.S. Pat. Appl. Publ., 45 pp.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
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PI   US 2005113458        A1    20050526    US 2004-971306          20041022
     AU 2004316119        A1    20050901    AU 2004-316119          20041022
     CA 2540921           A1    20050901    CA 2004-2540921         20041022
     WO 2005079779        A1    20050901    WO 2004-US35040         20041022
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     EP 1682109           A1    20060726    EP 2004-821452          20041022
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

CN 1870984 A 20061129 CN 2004-80031108 20041022

PRAI US 2003-513700P P 20031022

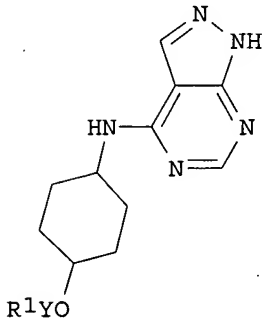
WO 2004-US35040 W 20041022

OS MARPAT 143:1308

L36 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of cycloalkylaminopyrazolopyrimidines as N-methyl-D-aspartate NR2B antagonists

GI



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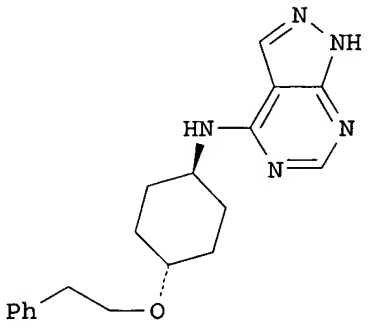


II

AB Title compds. [I; R1 = Ph optionally substituted by halo, alkyl, haloalkyl; Y = (halo-substituted) alkylene], were prepared Thus, trans-4-(2-phenylethoxy)cyclohexylamine (preparation given), 4-chloro-1H-pyrazolo[3,4-d]pyrimidine, and diisopropylethylamine were heated in isopropanol at 80° for 12 h to give 60-92% title compound (II). I showed NR1a/NR2B NMDA receptor inhibitory activity with IC50 and Ki values of <50 μM in functional and binding assays. I are claimed for treating pain, Parkinson's disease, Alzheimer's disease, epilepsy, depression, anxiety, and ischemic brain injury.

AN 2005:182667 CAPLUS <<LOGINID::20070215>>

DN 142:280223

TI Preparation of cycloalkylaminopyrazolopyrimidines as N-methyl-D-aspartate NR2B antagonists

IN Thompson, Wayne; Young, Steven D.; Phillips, Brian T.; Munson, Peter; Whitter, Willie; Liverton, Nigel; Dieckhaus, Christine; Butcher, John; Mccauley, John A.; Mcintyre, Charles J.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005019222	A1	20050303	WO 2004-US25979	20040811

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,

SN, TD, TG

US 2005054658 A1 20050310 US 2004-917194 20040812

PRAI US 2003-495650P P 20030815

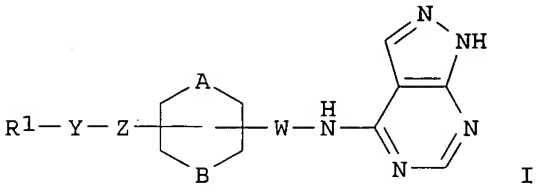
OS CASREACT 142:280223; MARPAT 142:280223

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of 4-cycloalkylaminopyrazolopyrimidines as nmda/nr2b antagonists

GI



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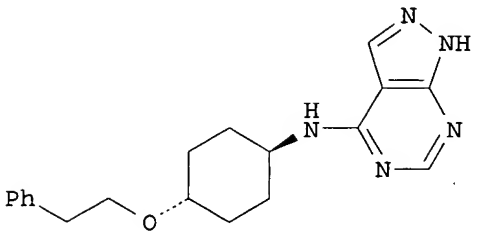

II

AB Title compds. I [R1 = (un)substituted Ph or diphenylmethyl; Y = carbocyclyl or cyclopropylmethyl linker; Z = absent or O, alkyl, alkenyl, S, SO, etc.; A and B independently = (un)substituted alkyl, where optionally A and B may connect to bridge ring; W = absent or O, alkyl, alkenyl, CO, SO2, etc.; the pyrazol[3,4-d]pyrimidine ring may optionally be substituted], and their pharmaceutically acceptable salts thereof, are prepared and disclosed as NMDA/NR2B antagonists. Thus, e.g., II, was prepared by substitution of 4-chloro-1H-pyrazolo[3,4-d]pyrimidine with trans-4-phenylethyloxycyclohexylamine (preparation given). I exhibit IC50 and Ki values of less than 50 μM in the functional and binding assay, resp. Are effective as NMDA/NR2B antagonists useful for treating neurol. conditions such as, for example, pain, Parkinson's disease, Alzheimer's disease, epilepsy, depression, anxiety, ischemic brain injury including stroke, and other conditions.

AN 2005:182666 CAPLUS <<LOGINID::20070215>>

DN 142:280222

TI Preparation of 4-cycloalkylaminopyrazolopyrimidines as nmda/nr2b antagonists

IN Thompson, Wayne; Young, Steven D.; Phillips, Brian T.; Munson, Peter; Whitter, Willie; Liverton, Nigel; Dieckhaus, Christine; Butcher, John; Mccauley, James A.; Mcintyre, Charles J.; Layton, Mark E.; Sanderson, Philip E.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 155 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI   WO 2005019221        A1    20050303    WO 2004-US25961        20040811
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            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
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            SN, TD, TG
     AU 2004266227        A1    20050303    AU 2004-266227         20040811
     CA 2535347           A1    20050303    CA 2004-2535347        20040811
     EP 1656379           A1    20060517    EP 2004-780746         20040811
     EP 1656379           B1    20070110
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
     CN 1835953           A     20060920    CN 2004-80023311       20040811
     US 2005054658        A1    20050310    US 2004-917194         20040812
PRAI US 2003-495650P      P     20030815
     WO 2004-US25961      W     20040811
OS   MARPAT 142:280222
RE.CNT  4     THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36  ANSWER 4 OF 5  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   NMDA receptor antagonists and their use in inhibiting abnormal
     hyperphosphorylation of protein Tau
AB   Aminocyclohexane and aminoalkylcyclohexane compds., which are
     systemically-active as NMDA receptor antagonists, are effective
     in inhibiting abnormal hyperphosphorylation of microtubule associated protein
     tau, method of treating disorders resulting from or associated with abnormal
     hyperphosphorylation of microtubule associated protein tau, and
     pharmaceutical compns. comprising the same.
AN   2004:80486  CAPLUS <<LOGINID::20070215>>
DN   140:139523
TI   NMDA receptor antagonists and their use in inhibiting abnormal
     hyperphosphorylation of protein Tau
IN   Iqbal, Khalid; Grundke-Iqbal, Inge
PA   USA
SO   PCT Int. Appl., 97 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   WO 2004009062        A2    20040129    WO 2003-US22362        20030717
     WO 2004009062        A3    20041223
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            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
            PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
            TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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            KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
            FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2004019118        A1    20040129    US 2003-622163         20030717
     AU 2003251993        A1    20040209    AU 2003-251993         20030717
     EP 1523309           A2    20050420    EP 2003-765660         20030717
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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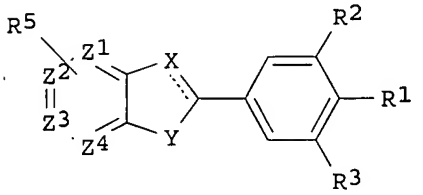
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
PRAI US 2002-397434P P 20020719
WO 2003-US22362 W 20030717
OS MARPAT 140:139523

L36 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of 2-phenylbenzoxazoles as metabotropic glutamate receptor-5 modulators for treatment of pain and CNS disorders
GI



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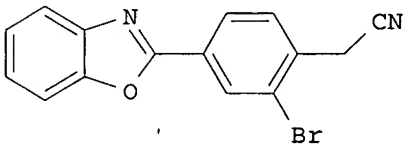

II

AB Title compds. I [wherein X = N, CH, or NH; Y = O or NR4; Z1-Z4 = CH or 1 of Z1-Z4 = optionally N or NH; R1 = OH, halo, CN, or (un)substituted (cyclo)alkyl, alkoxy, alkylphenyl, alkylpyridyl, alkylimidazolyl, alkylpyrazolyl, alkyltriazolyl, alkyltetrazolyl, alkyldioxolanyl, alkylthiazolyl, alkylpiperidinyl, alkylpyrrolidinyl, alkylmorpholinyl, alkylpyrimidinyl, alkynylthiazolyl, or (di)alkylamino; R2 = H, halo, OH, CN, (di)alkylamino, NO2, or (un)substituted alkyl, alkoxy, alkylphenyl, or alkoxyphenyl; R3 = H or alkoxy; R4 = alkyl; R5 = H, halo, or alkyl; and pharmaceutically acceptable salts thereof] were prepared as metabotropic glutamate receptor-5 (mGluR5) modulators. For example, amidation of 3-bromo-4-methylbenzoic acid with 2-aminophenol, followed by reflux with p-TsOH in toluene for 4 h gave 2-(3-bromo-4-methylphenyl)-1,3-benzoxazole. Bromination and substitution with NaCN in DMF/H2O afforded [4-(1,3-benzoxazol-2-yl)-2-bromophenyl]acetonitrile (II). Eighty compds. of the invention were tested in calcium flux and phosphatidylinositol hydrolysis assays and showed mGluR5 inhibitory activity with IC50 values of < 5 μM and < 100 μM, resp. Thus, I and pharmaceutical compns. comprising I are useful in the treatment of psychiatric and mood disorders, such as schizophrenia, anxiety, depression, and panic, as well as in the treatment of pain and other CNS diseases (no data).
AN 2003:454303 CAPLUS <<LOGINID::20070215>>
DN 139:36519
TI Preparation of 2-phenylbenzoxazoles as metabotropic glutamate receptor-5 modulators for treatment of pain and CNS disorders
IN Munoz, Benito; Stearns, Brian; Vernier, Jean-Michel; Wang, Bowei; Bonnefous, Celine; Zhao, Xiumin; Arruda, Jeannie; Campbell, Brian T.; Cube, Rowena V.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003048137	A1	20030612	WO 2002-US38201	20021126
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	CA 2468067	A1	20030612	CA 2002-2468067	20021126
	AU 2002365892	A1	20030617	AU 2002-365892	20021126
	EP 1453815	A1	20040908	EP 2002-804470	20021126
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2005514382	T	20050519	JP 2003-549329	20021126
	US 2005065340	A1	20050324	US 2004-497452	20041109
	US 7087601	B2	20060808		
PRAI	US 2001-334547P	P	20011130		
	WO 2002-US38201	W	20021126		

OS MARPAT 139:36519
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> s 5HT3 and Alzheimer? and aminocyclohex?
          831 5HT3
        43444 ALZHEIMER?
         4104 AMINOCYCLOHEX?
L37         0 5HT3 AND ALZHEIMER? AND AMINOCYCLOHEX?

=> s serotonin and Alzheimer? and aminocyclohex?
        70931 SEROTONIN
        43444 ALZHEIMER?
         4104 AMINOCYCLOHEX?
L38         1 SEROTONIN AND ALZHEIMER? AND AMINOCYCLOHEX?

=> d l38 ti abs bib

L38  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Preparation of arylsulphonyl-substituted tetrahydro- and
     hexahydrocarbazolamines as 5-HT6 receptor ligands
GI
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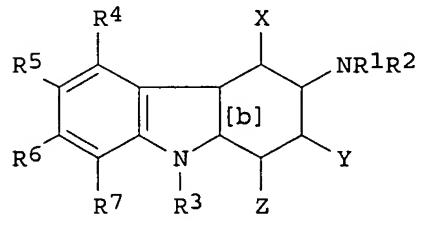

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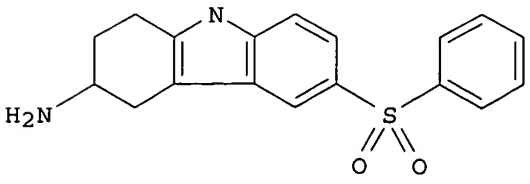

II

AB The invention provides arylsulfonyl-substituted tetrahydro- and hexahydrocarbazoles (shown as I; variables defined below; e.g. 6-(phenylsulfonyl)-2,3,4,9-tetrahydro-1H-carbazol-3-amine hydrochloride (base shown as II)) for use in treating conditions in which 5-HT6 receptors are involved such as in anxiety, depression, schizophrenia, Alzheimer's disease, stress-related disease, panic, a phobia, obsessive compulsive disorder, obesity, post-traumatic stress syndrome, epilepsy, and other CNS disorders. Binding consts. (Ki) for the examples to 5-HT6 receptors are .apprx.2.9-58 nM. The 3R isomers of the tetrahydrocarbazoles exhibit higher selectivity towards the 5-HT6 serotonin receptor relative to the 3S isomer. Isotopically labeled I are claimed to be useful for performing positron emission tomog. Although the methods of preparation are not claimed, 5 example prepns. of I and intermediates are included. For I: the bond labeled [b] is a single or double bond; each X, Y, and Z = H, -OH, -O-alkyl, and -O-substituted alkyl; R1 = H, (un)substituted alkyl, (un)substituted cycloalkyl, and aryl; R2 = H, (un)substituted alkyl, (un)substituted cycloalkyl, and aryl; R3 = H, (un)substituted alkyl, (un)substituted cycloalkyl, and -A-E-R8; A = (un)substituted alkyl. E = -N(R10)C(O)-, -C(O)N(R10)-, -N(R10)C(S)-, -C(S)N(R10)-, -S(O)N(R10)-, -N(R10)S(O)-, -S(O)2N(R10)-, and -N(R10)S(O)2-. Each R4, R5, R6, and R7 = H, halogen, aryl, -CN, -NO2, (un)substituted alkyl, (un)substituted cycloalkyl, -OR9, -NH2, -C(O)NH2, -C(S)NH2, and -S(O)naryl, provided that one of R4, R5, R6, and R7 is -S(O)naryl, and that at least one of R4, R5, R6, and R7 is H; n = 0-2. Each R8, R9, and R10 = H, (un)substituted alkyl, (un)substituted cycloalkyl, and aryl; each R11 = H, (un)substituted alkyl, (un)substituted cycloalkyl, heterocycloalkyl, Ph, naphthyl, and heteroarom., provided that any of the alkyl, cycloalkyl, Ph, naphthyl, or heteroarom. is optionally substituted with up to 3 substituents = halogen, alkyl, -CF3, -OR12, -SR12, -CN, -NO2, -N3, -N(R12)2, -C(O)N(R12)2, and -C(S)-N(R12)2; each R12 = H, alkyl, and cycloalkyl, provided that any of the alkyl or cycloalkyl is optionally substituted with up to 2 substituents = halogen, -CF3, -NO2, -NH2, -N3, -CN, -OH, -O-lower alkyl, and -O-lower substituted alkyl.

AN 2003:300887 CAPLUS <<LOGINID::20070215>>
DN 138:321126
TI Preparation of arylsulphonyl-substituted tetrahydro- and hexahydrocarbazolamines as 5-HT6 receptor ligands
IN Fu, Jian-Min
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003030901	A1	20030417	WO 2002-US32353	20021008
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2461369	A1	20030417	CA 2002-2461369	20021008
	US 2003100596	A1	20030529	US 2002-268627	20021008
	US 6727274	B2	20040427		
	EP 1434578	A1	20040707	EP 2002-776201	20021008
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

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            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
     BR 2002013208        A     20040831    BR 2002-13208          20021008
     JP 2005508349        T     20050331    JP 2003-533933         20021008
     US 2004162332        A1    20040819    US 2004-777252         20040212
PRAI US 2001-327875P      P     20011009
     US 2001-327876P      P     20011009
     US 2002-268627       A3    20021008
     WO 2002-US32353      W     20021008
OS   MARPAT 138:321126
RE.CNT  4     THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> s NMDA and Alzheimer?
         27132 NMDA
         43444 ALZHEIMER?
L39        925 NMDA AND ALZHEIMER?

=> s l39 not py>2002
       4909585 PY>2002
L40        389 L39 NOT PY>2002

=> s l40 and antagon?
        293636 ANTAGON?
L41        170 L40 AND ANTAGON?

=> s l41 and glutamatergic
         15522 GLUTAMATERGIC
L42         50 L41 AND GLUTAMATERGIC

=> d l42 1-50 ti

L42  ANSWER 1 OF 50  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Neuroprotection against excitotoxicity by N-alkylglycines in rat
     hippocampal neurons

L42  ANSWER 2 OF 50  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Glutamate receptors as a target for Alzheimer's disease - are
     clinical results supporting the hope?

L42  ANSWER 3 OF 50  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Non-cholinergic strategies for treating and preventing Alzheimer
     's disease

L42  ANSWER 4 OF 50  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Differential muscarinic and NMDA contributions to visuo-spatial
     paired-associate learning in rhesus monkeys

L42  ANSWER 5 OF 50  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Synergistic versus antagonistic actions of glutamate and
     glutathione: the role of excitotoxicity and oxidative stress in neuronal
     disease

L42  ANSWER 6 OF 50  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Glutamate hypothesis of schizophrenia and targets for new antipsychotic
     drugs

L42  ANSWER 7 OF 50  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   Receptor mechanisms and circuitry underlying NMDA
     antagonist neurotoxicity

L42  ANSWER 8 OF 50  CAPLUS  COPYRIGHT 2007 ACS on STN
TI   NMDA receptors and learning and memory processes
```

L42 ANSWER 9 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI The neuroprotectant properties of glutamate antagonists and antiglutamatergic drugs

L42 ANSWER 10 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Neuroprotective and symptomatological action of memantine relevant for Alzheimer's disease - a unified glutamatergic hypothesis on the mechanism of action

L42 ANSWER 11 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI The 5-HT1A antagonist, WAY 100 635, alleviates cognitive impairments induced by dizocilpine (MK-801) in monkeys

L42 ANSWER 12 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Neuroprotective approaches in experimental models of β-amyloid neurotoxicity: relevance to Alzheimer's disease

L42 ANSWER 13 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI WAY 100635, a 5-HT1A receptor antagonist, prevents the impairment of spatial learning caused by blockade of hippocampal NMDA receptors

L42 ANSWER 14 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI 5HT Antagonists Attenuate MK801-Impaired Radial Arm Maze Performance in Rats

L42 ANSWER 15 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Glutamate in CNS disorders as a target for drug development: an update

L42 ANSWER 16 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Age-associated memory impairment. Assessing the role of nitric oxide

L42 ANSWER 17 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Learning impairments induced by glutamate blockade using dizocilpine (MK-801) in monkeys

L42 ANSWER 18 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Novel glycineB antagonists show neuroprotective activity in vivo

L42 ANSWER 19 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI The role of NMDA receptors in the slow neuronal degeneration of Parkinson's disease

L42 ANSWER 20 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI GABAergic deafferentation hypothesis of brain aging and Alzheimer's disease revisited

L42 ANSWER 21 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Phenserine, a novel acetylcholinesterase inhibitor, attenuates impaired learning of rats in a 14-unit T-maze induced by blockade of the N-methyl-D-aspartate receptor

L42 ANSWER 22 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Equilin, a principal component of the estrogen replacement therapy premarin, increases the growth of cortical neurons via an NMDA receptor-dependent mechanism

L42 ANSWER 23 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Ornithine transcarbamylase deficiency: pathogenesis of the cerebral disorder and new prospects for therapy

L42 ANSWER 24 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI AMPA receptor agonists, antagonists and modulators: their potential for clinical utility

L42 ANSWER 25 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Pharmacological models of amnesia: a reexamination of the effects of cholinergic muscarinic antagonist scopolamine and NMDA -receptor antagonist MK-801 on delayed nonmatching-to-position in rats

L42 ANSWER 26 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Hippocampal damage and cytoskeletal disruption resulting from impaired energy metabolism: implications for Alzheimer disease

L42 ANSWER 27 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Tricyclic dicarbonyl derivatives [triazoloquinazolinediones and analogs] useful as neuroprotectives, and their preparation

L42 ANSWER 28 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Neuronal loss and cytoskeletal disruption following intrahippocampal administration of the metabolic inhibitor malonate: lack of protection by MK-801

L42 ANSWER 29 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of (piperidino)chromanol NMDA receptor blockers

L42 ANSWER 30 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI 5-Arylisoxazol-4-yl-substituted 2-amino carboxylic acid compounds

L42 ANSWER 31 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Cell death induced by β-amyloid 1-40 in MES 23.5 hybrid clone: the role of nitric oxide and NMDA-gated channel activation leading to apoptosis

L42 ANSWER 32 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Glutamate-induced antigenic changes of phospholipase C-δ in cultured cortical neurons

L42 ANSWER 33 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Selective vulnerability of the CA1 region of hippocampus to the indirect excitotoxic effects of malonic acid

L42 ANSWER 34 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Death of septal cholinergic neurons produced by chronic exposure to glutamate is prevented by the noncompetitive NMDA receptor/channel antagonist, MK-801: Role of nerve growth factor and nitric oxide

L42 ANSWER 35 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI The Ca2+ influx induced by β-amyloid peptide 25-35 in cultured hippocampal neurons results from network excitation

L42 ANSWER 36 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Carbachol-induced accumulation of inositol phosphates and its modulation by excitatory amino acids in cortical slices of young and aged rats with down-regulation of muscarinic M-1 receptors

L42 ANSWER 37 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Memantine inhibits [3H]MK-801 binding to human hippocampal NMDA receptors

L42 ANSWER 38 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Triazoloquinazolinones for treatment of central nervous disorders

L42 ANSWER 39 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Method of preventing NMDA receptor-mediated neuronal damage using amantadine and related compounds

L42 ANSWER 40 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Histogranins having neuroprotective and immunostimulatory functions

L42 ANSWER 41 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preservation of redox, polyamine, and glycine modulatory domains of the N-methyl-D-aspartate receptor in Alzheimer's disease

L42 ANSWER 42 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI 3-Nitro-3,4-dihydro-2(1H)-quinolones. Excitatory amino acid antagonists acting at glycine-site NMDA and (RS)-α-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid receptors

L42 ANSWER 43 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Quinolinate potentiates the neurotoxicity of excitatory amino acids in hypoxic neuronal tissue in vitro

L42 ANSWER 44 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI β-Amyloid-(25-35) or substance P stimulates [3H]MK-801 binding to rat cortical membranes in the presence of glutamate and glycine

L42 ANSWER 45 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Alzheimer's disease and NMDA receptor antagonists

L42 ANSWER 46 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Age related changes in the NMDA receptor complex in rat brain: In vitro autoradiographic studies

L42 ANSWER 47 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Reduction of posttraumatic transneural "early gene" activation and dendritic atrophy by the N-methyl-D-aspartate receptor antagonist MK-801

L42 ANSWER 48 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI Kynurenic acid concentrations are reduced in Huntington's disease cerebral cortex

L42 ANSWER 49 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI NMDA-mediated neurodegeneration and cerebral ischemia - mechanisms and therapeutic perspectives

L42 ANSWER 50 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
TI [3H]MK-801 binding in Alzheimer's disease

=> s 5HT3 and Alzheimer?
831 5HT3
43444 ALZHEIMER?
L43 21 5HT3 AND ALZHEIMER?

=> d l43 1-21 ti

L43 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of pyrimidine derivatives as 5-HT3 receptor antagonists having agonistic activity on 5-HT1A

L43 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Piperazinylpyridines having 5-HT1A agonistic action and 5-HT3 antagonistic action, and their use for pharmaceutical compositions for treatment of various diseases

L43 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of piperazinylpyridine derivatives as 5-HT3 receptor

antagonists, pharmaceutical compositions containing them, and their uses

L43 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Molecular dissection of tropisetron, an α7 nicotinic acetylcholine receptor-selective partial agonist

L43 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI 5-HT3 receptor agonists as neuroprotectants

L43 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Compounds having both α7 nicotinic agonist activity and 5-HT3 antagonist activity, for treatment of CNS diseases, and their preparation, pharmaceutical compositions, and use

L43 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of 1H-pyrazole- and 1H-pyrrole-azabicyclic compounds with nicotinic acetylcholine receptor α7 (α7 nAChR) activity

L43 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Characterization, production and therapeutic uses of serotonin 5-HT3 receptor family member INPIONCH1

L43 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists

L43 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists

L43 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists

L43 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-(azabicyclyl)benzamides for therapeutic use as nicotinic acetylcholine receptor agonists

L43 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists

L43 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists

L43 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists

L43 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists

L43 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-[7-aza[2.2.1]bicycloheptanyl]arylamides for therapeutic use as nicotinic acetylcholine receptor agonists

L43 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-[7-aza[2.2.1]bicycloheptanyl]arylamides for therapeutic use as nicotinic acetylcholine receptor agonists

L43 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-[7-aza[2.2.1]bicycloheptanyl]arylamides for therapeutic

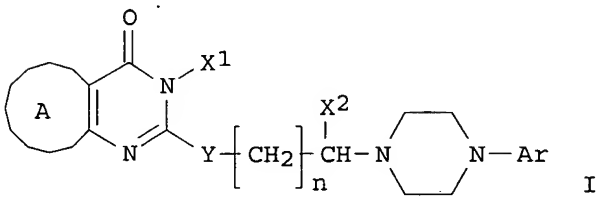
use as nicotinic acetylcholine receptor agonists

L43 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI 1-Aminoalkylcyclohexanes as 5-HT3 and neuronal nicotinic receptor antagonists, preparation, pharmaceutical compositions, and therapeutic use thereof

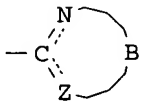
L43 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI The N-methyl-d-aspartate receptor channel blockers memantine, MRZ 2/579 and other amino-alkyl-cyclohexanes antagonize 5-HT3 receptor currents in cultured HEK-293 and N1E-115 cell systems in a non-competitive manner

=> d l43 1 2 3 5 9 10 11 13 14 16 17 20 21 ti abs bib

L43 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of pyrimidine derivatives as 5-HT3 receptor antagonists having agonistic activity on 5-HT1A
GI



I



II

AB Title compds. I [ring A = carbocyclic group, etc.; X1 = H, amino, etc.; X2 = H, alkyl; Y = bond, etc.; n = 0-4; Ar = optionally substituted II with halo, etc.; Z = O, etc.; B = moiety required for completing mono-, ploy-heterocyclic ring containing N together with N-C-Z; dotted line indicates single, double bond] were prepared For example, treatment of potassium 3-amino-5,6-dimethyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-2-thiolate with 2-[4-(3-chloropropyl)piperazin-1-yl]quinoline, e.g., prepared from piperazine in 2 steps, afforded 3-amino-5,6-dimethyl-2-[3-(4-quinolin-2-ylpiperazin-1-yl)propylthio]-3H-thieno[2,3-d]pyrimidin-4-one (III) in 50% yield. In 5-HT3 receptor affinity assay (in vitro), compound III exhibited the antagonistic activity of 94% at 10-7 M. Compds. I are claimed useful for the treatment of anxiety, depression, etc. Formulation is given.
AN 2005:979639 CAPLUS <<LOGINID::20070215>>
DN 143:286443
TI Preparation of pyrimidine derivatives as 5-HT3 receptor antagonists having agonistic activity on 5-HT1A
IN Sato, Michitaka; Matsui, Teruaki; Asagarasu, Akira; Hayashi, Hiroyuki; Araki, Seiichi; Tamaoki, Satoru; Takahashi, Nobuyuki; Yamauchi, Yukinao; Yamamoto, Yoshiko; Yamamoto, Norio; Ogawa, Chisato
PA Teikoku Hormone Mfg. Co., Ltd., Japan
SO PCT Int. Appl., 261 pp.
CODEN: PIXXD2
DT Patent
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005082887	A1	20050909	WO 2005-JP3691	20050225
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2005217320	A1	20050909	AU 2005-217320	20050225
	CA 2557541	A1	20050909	CA 2005-2557541	20050225
	EP 1724267	A1	20061122	EP 2005-719969	20050225
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRAI	JP 2004-52040	A	20040226		
	JP 2004-322858	A	20041105		
	WO 2005-JP3691	W	20050225		

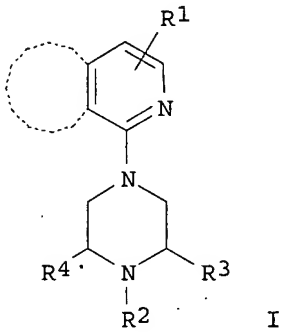
OS MARPAT 143:286443

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

TI Piperazinylpyridines having 5-HT1A agonistic action and 5-HT3 antagonistic action, and their use for pharmaceutical compositions for treatment of various diseases

GI



I

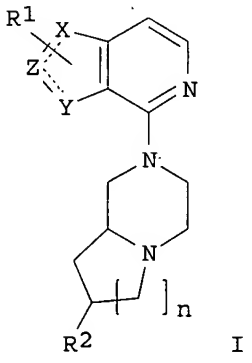
AB Piperazinylpyridines I [ring A indicates (substituted) heterocycle selected from pyridine, furan, and thiophene; R1 = H, halo, lower alkyl; R2 = H, lower alkyl, Ph, phenyl-lower-alkyl, etc.; R3, R4 = H, lower alkyl; R2R3 may form (substituted) pyrrolidine ring or (substituted) piperidine ring] or their pharmaceutically acceptable salts having 5-HT1A agonistic action and 5-HT3 antagonistic action are useful for treatment of various diseases, especially, irritable bowel syndrome. 1-[(8AS)-octahydropyrrolo[1,2-a]pyrazin-2-yl]-7-methoxyisoquinoline (II) (at 10-7M) showed 96.7% inhibition of binding of 8-hydroxy-2-(di-n-propylamino)tetralin (8-OH-DPAT) to human 5-HT1A receptor and 99.8% inhibition of binding of BRL-43694 to human 5-HT3 receptor. II (at 10 mg/kg i.p.) induced lower lip retraction (LLR) and flat body posture (FBP) in rats. II (at 0.3 mg/kg i.v.) showed 72.5% inhibition of serotonin-induced bradycardia in rats. A tablet formulation example is

given.
AN 2005:975875 CAPLUS <<LOGINID::20070215>>
DN 143:279405
TI Piperazinylpyridines having 5-HT1A agonistic action and 5-HT3 antagonistic action, and their use for pharmaceutical compositions for treatment of various diseases
IN Sato, Michitaka; Matsui, Teruaki; Asakarasu, Akira; Hayashi, Hiroyuki; Araki, Seiichi; Tamaoki, Masaru; Takahashi, Nobuyuki; Yamamoto, Toshiko; Yamamoto, Norio; Ogawa, Chisato
PA Teikoku Hormone Mfg. Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 62 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2005239578	A	20050908	JP 2004-48344	20040224
PRAI JP 2004-48344		20040224		

OS MARPAT 143:279405

L43 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of piperazinylpyridine derivatives as 5-HT3 receptor antagonists, pharmaceutical compositions containing them, and their uses
GI



I

AB The derivs. I (R1 = H, halo, lower alkoxy; R2 = H, halo, lower alkoxy, phenyl-lower alkoxy; n = 1, 2; X, Y = C, O, S; Z = C; X and/or Y = C and the other = O, S) or their pharmaceutically acceptable salts are prepared Also claimed are 5-HT3 receptor antagonists having agonistic action on 5-HT1A receptors containing I (salts), pharmaceutical compns. containing the antagonists and carriers, and agents containing the antagonists for treatment of irritable bowel syndrome, anxiety, dysuria, parkinsonism, neuropathy, COPD, glaucoma, etc. Thus, i.p. administration of 7-[(8aS)-octahydropyrrolo[1,2-a]pyrazin-2-yl]furo[2,3-c]pyridine (II; preparation given) to rats induced lower lip retraction and flat body posture. II also suppressed 5-hydroxytryptamine creatinine sulfate-induced Bezold-Jarisch reflex in rats. Tablets containing I were also formulated.
AN 2005:888185 CAPLUS <<LOGINID::20070215>>
DN 143:229884
TI Preparation of piperazinylpyridine derivatives as 5-HT3 receptor antagonists, pharmaceutical compositions containing them, and their uses
IN Sato, Michitaka; Matsui, Teruaki; Asakarasu, Akira; Hayashi, Hiroyuki; Araki, Seiichi; Tamaoki, Masaru; Takahashi, Nobuyuki; Yamamoto, Toshiko; Yamamoto, Norio; Ogawa, Chisato
PA Teikoku Hormone Mfg. Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 28 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

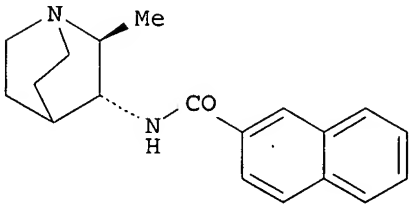
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2005225845	A	20050825	JP 2004-39056	20040216
PRAI JP 2004-39056		20040216		

OS MARPAT 143:229884

L43 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI 5-HT3 receptor agonists as neuroprotectants
AB The invention discloses methods and compns. useful for treating and preventing neurodegenerative diseases. The methods and compns. utilize agonists for the 5-HT3 receptors. These mols. can be delivered alone or in combination with agents which treat or prevent neurodegenerative diseases such as those caused by ischemic stroke, Alzheimer's disease, diabetic peripheral neuropathy, multiple sclerosis, amyotrophic lateral sclerosis, traumatic brain injury, spinal cord injury, Huntington's disease or Parkinson's disease.
AN 2004:803843 CAPLUS <<LOGINID::20070215>>
DN 141:289075
TI 5-HT3 receptor agonists as neuroprotectants
IN Oksenberg, Donna; Urfer, Roman
PA USA
SO U.S. Pat. Appl. Publ., 11 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004191312	A1	20040930	US 2003-745760	20031223
PRAI US 2002-437050P	P	20021231		

L43 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists
GI



I

AB N-(azabicyclyl)arylamides, such as RNR1C(:X)W [R = azabicyclyl; R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury,

behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, the hydrochloride salt of amide I was prepared via a multistep synthetic sequence which concluded with an amidation reaction of the corresponding (2S,3R)-azabicyclic amine dihydrochloride with 2-naphthoic acid using diphenylphosphinic chloride and Et3N in THF. The prepared amides were assayed for human α7-5HT3 receptor binding activity.

AN 2003:696897 CAPLUS <<LOGINID::20070215>>
DN 139:214614
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists
IN Jacobsen, Eric Jon; Myers, Jason K.; Walker, Daniel P.; Wishka, Donn G.; Reitz, Steven C.; Piotrowski, David W.; Acker, Brad A.; Groppi, Vincent E., Jr.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003072578	A1	20030904	WO 2003-US2688	20030214
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	CA 2475773	A1	20030904	CA 2003-2475773	20030214
	AU 2003214936	A1	20030909	AU 2003-214936	20030214
	US 2003236270	A1	20031225	US 2003-366894	20030214
	US 7001900	B2	20060221		
	EP 1478646	A1	20041124	EP 2003-710784	20030214
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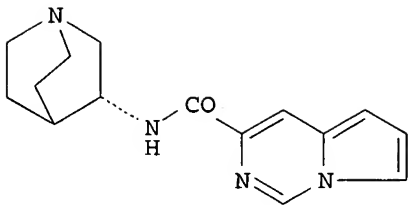
	BR 2003007874	A	20041228	BR 2003-7874	20030214
	JP 2005525357	T	20050825	JP 2003-571284	20030214
PRAI	US 2002-358146P	P	20020220		
	WO 2003-US2688	W	20030214		

OS MARPAT 139:214614
RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists
GI



I

AB N-(azabicyclyl)arylamides, such as RNR1C(:X)W [R = azabicyclyl; R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia; psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, the hydrochloride salt of amide I was prepared via a multistep synthetic sequence which concluded with an amidation reaction of pyrrolo[1,2-c]pyrimidine-3-carboxylic acid hydrochloride with (R)-(+)-3-aminoquinuclidine dihydrochloride using diphenylphosphinic chloride and Et3N in THF. The prepared amides were assayed for human α7- 5HT3 receptor binding activity.

AN 2003:678814 CAPLUS <<LOGINID::20070215>>

DN 139:214613

TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists

IN Rogers, Bruce N.; Piotrowski, David W.; Walker, Daniel P.; Jacobsen, Eric Jon; Acker, Brad A.; Wishka, Donn G.; Groppi, Vincent E., Jr.

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 167 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003070732	A1	20030828	WO 2003-US2687	20030214
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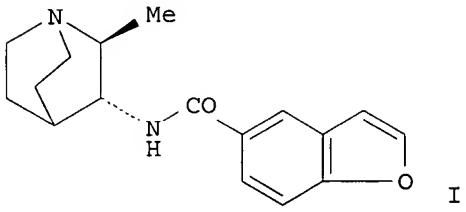
	CA 2476681	A1	20030828	CA 2003-2476681	20030214
	AU 2003219690	A1	20030909	AU 2003-219690	20030214

	US 2003236264	A1	20031225	US 2003-366855	20030214
	US 6858613	B2	20050222		
	EP 1476449	A1	20041117	EP 2003-715958	20030214
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003007735	A	20050125	BR 2003-7735	20030214
	JP 2005523288	T	20050804	JP 2003-569639	20030214
	US 2005215584	A1	20050929	US 2004-4365	20041203
PRAI	US 2002-357917P	P	20020219		
	US 2002-423157P	P	20021101		
	US 2003-366855	A1	20030214		
	WO 2003-US2687	W	20030214		

OS MARPAT 139:214613
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists
GI



I

AB N-(azabicyclyl)arylamides, such as RNR1C(:X)W [R = azabicyclyl; R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, the hydrochloride salt of amide I was prepared via a multistep synthetic sequence which included an amidation reaction of the corresponding (2S,3R)-azabicyclic amine with 5-benzofurancarboxylic acid. The prepared amides were assayed for human α7- 5HT3 receptor binding activity.
AN 2003:678813 CAPLUS <<LOGINID::20070215>>
DN 139:214612
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists
IN Walker, Daniel P.; Piotrowski, David W.; Jacobsen, Eric Jon; Acker, Brad A.; Groppi, Vincent E., Jr.

PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003070731	A2	20030828	WO 2003-US2682	20030213
	WO 2003070731	A3	20040318		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	CA 2476624	A1	20030828	CA 2003-2476624	20030213
	AU 2003217275	A1	20030909	AU 2003-217275	20030213
	US 2003232853	A1	20031218	US 2003-366431	20030213
	US 6894042	B2	20050517		
	EP 1476448	A2	20041117	EP 2003-713317	20030213
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003007782	A	20050104	BR 2003-7782	20030213
	JP 2005523287	T	20050804	JP 2003-569638	20030213
	US 2004224976	A1	20041111	US 2004-868637	20040615
PRAI	US 2002-357926P	P	20020219		
	US 2003-366431	A3	20030213		
	WO 2003-US2682	W	20030213		

OS MARPAT 139:214612

L43 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists
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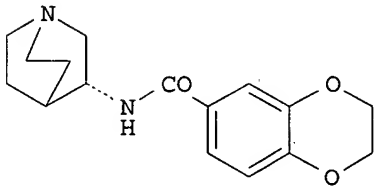

I

AB N-(azabicyclyl)arylamides, such as RNR1C(:X)W [R = azabicyclyl; R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy

Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, amide I was prepared in 71% yield by an amidation reaction of 1,4-benzodioxane-6-carboxylic acid with 3-(R)-aminoquinuclidine dihydrochloride using DIEA and HATU in MeCN at -10°. The prepared amides were assayed for human α7-5HT3 receptor binding activity.

AN 2003:396883 CAPLUS <<LOGINID::20070215>>
DN 138:385606
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists
IN Walker, Daniel P.; Jacobsen, Jon E.; Acker, Brad A.; Groppi, Vincent E.; Piotrowski, David W.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003042210	A1	20030522	WO 2002-US31611	20021101
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	CA 2466344	A1	20030522	CA 2002-2466344	20021101
	US 2003130305	A1	20030710	US 2002-286177	20021101
	US 6951868	B2	20051004		
	EP 1442037	A1	20040804	EP 2002-776108	20021101
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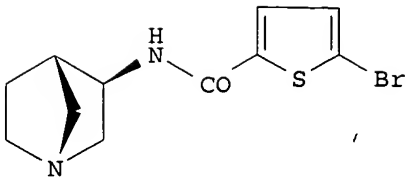
	BR 2002014016	A	20041013	BR 2002-14016	20021101
	JP 2005510523	T	20050421	JP 2003-544046	20021101
PRAI	US 2001-345075P	P	20011109		
	US 2001-344905P	P	20011221		
	US 2002-365278P	P	20020318		
	US 2002-413234P	P	20020924		
	WO 2002-US31611	W	20021101		

OS MARPAT 138:385606
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists
GI



I

AB N-(azabicyclyl)arylamides, such as RNR1C(:X)W [R = azabicyclyl; R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, the fumarate salt of amide I was prepared via a multistep synthetic sequence which included intramol. cyclization of trans-3-(tert-butoxycarbonylamino)-4-(2-hydroxyethyl)-1-(phenylmethyl)pyrrolidine to form exo-3-(tert-butoxycarbonylamino)-1-azabicyclo[2.2.1]heptane, which contains the target azabicyclic ring, and subsequent amidation of the corresponding azabicyclic amine with 5-bromothiophene-2-carboxylic acid. The prepared amides were assayed for human α7- 5HT3 receptor binding activity.

AN 2003:376867 CAPLUS <<LOGINID::20070215>>
DN 138:368782

TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists

IN Piotrowski, David W.; Myers, Jason K.; Rogers, Bruce N.; Jacobsen, E. Jon; Bodnar, Alice L.; Groppi, Vincent E., Jr.; Walker, Daniel P.; Acker, Brad A.
PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 166 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003040147	A1	20030515	WO 2002-US33618	20021106

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NE, SN, TD, TG

CA 2466375	A1	20030515	CA 2002-2466375	20021106
US 2003207913	A1	20031106	US 2002-288863	20021106
US 6919359	B2	20050719		
EP 1442041	A1	20040804	EP 2002-793805	20021106
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BR 2002014031	A	20041019	BR 2002-14031	20021106
JP 2005511613	T	20050428	JP 2003-542193	20021106
PRAI US 2001-336977P	P	20011108		
US 2001-350108P	P	20011113		
US 2002-357906P	P	20020219		
US 2002-358142P	P	20020219		
US 2002-358159P	P	20020219		
WO 2002-US33618	W	20021106		

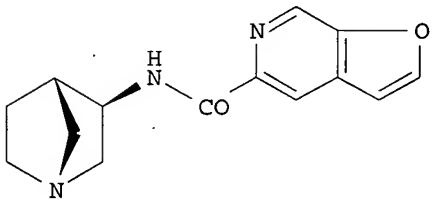
OS MARPAT 138:368782

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists

GI



II

AB N-(azabicyclyl)arylamides, such as RNR1C(:X)W [R = azabicyclyl; R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, benzyl, alkyl, haloalkyl, cycloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, the fumarate salt of amide II was prepared via a multistep synthetic sequence which included intramol. cyclization of trans-3-(tert-butoxycarbonylamino)-4-(2-hydroxyethyl)-1-phenylmethylpyrrolidine to form exo-3-(tert-butoxycarbonylamino)-1-azabicyclo[2.2.1]heptane, which contains the target azabicyclic ring, and subsequent amidation of the the corresponding azabicyclic amine with furo[2,3-c]pyridine-5-carboxylic acid. The prepared amides were assayed for human α7- 5HT3 receptor binding activity.

AN 2003:282570 CAPLUS <<LOGINID::20070215>>

DN 138:304175
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists
IN Walker, Daniel Patrick; Piotrowski, David W.; Jacobsen, Eric Jon; Acker, Brad A.; Wishka, Donn G.; Reitz, Steven Charles; Groppi, Vincent E., Jr.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 200 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003029252	A1	20030410	WO 2002-US29827	20021001
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2462453	A1	20030410	CA 2002-2462453	20021001
	US 2003153595	A1	20030814	US 2002-262257	20021001
	US 6911543	B2	20050628		
	EP 1432707	A1	20040630	EP 2002-778286	20021001
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002013612	A	20040824	BR 2002-13612	20021001
	HU 200402289	A2	20050228	HU 2004-2289	20021001
	JP 2005508932	T	20050407	JP 2003-532500	20021001
	NZ 531786	A	20061027	NZ 2002-531786	20021001
	CN 1871235	A	20061129	CN 2002-824179	20021001
	US 2003176702	A1	20030918	US 2002-272802	20021017
	US 6849620	B2	20050201		
	IN 2004DN00717	A	20050401	IN 2004-DN717	20040322
	BG 108650	A	20050430	BG 2004-108650	20040324
	NO 2004001368	A	20040601	NO 2004-1368	20040401
	US 2005222196	A1	20051006	US 2005-137912	20050526
	US 2005234092	A1	20051020	US 2005-139066	20050526
PRAI	US 2001-326565P	P	20011002		
	US 2001-326629P	P	20011002		
	US 2001-334886P	P	20011115		
	US 2001-339633P	P	20011212		
	US 2002-262257	A1	20021001		
	WO 2002-US29827	W	20021001		

OS MARPAT 138:304175
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of N-[7-aza[2.2.1]bicycloheptanyl]arylamides for therapeutic use as nicotinic acetylcholine receptor agonists
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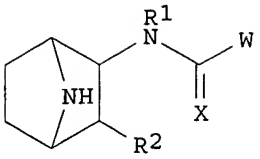
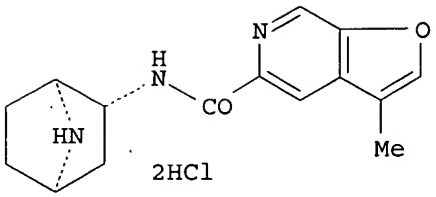


I



2HCl II

AB 7-Aza[2.2.1]bicycloheptane derivs., such as amides I [R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, benzyl, alkyl, haloalkyl, cycloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, amide dihydrochloride II was prepared via a multistep synthetic sequence which included cycloaddn. of N-tert-butoxycarbonylpyrrole with BrC.tplbond.CCO2Me to form the azabicyclic ring, and subsequent amidation reaction of tert-Bu (1S,2R,4R)-2-amino-7-azabicyclo[2.2.1]heptane-7-carboxylate with 3-methylfuro[2,3-c]pyridine-5-carboxylic acid. The prepared amides were assayed for human α7- 5HT3 receptor binding activity.

AN 2003:221697 CAPLUS <<LOGINID::20070215>>
DN 138:238006

TI Preparation of N-[7-aza[2.2.1]bicycloheptanyl]arylamides for therapeutic use as nicotinic acetylcholine receptor agonists

IN Wishka, Donn G.; Walker, Daniel Patrick; Corbett, Jeffrey W.; Reitz, Steven Charles; Rauckhorst, Mark R.; Groppi, Vincent E., Jr.
PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 224 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003022856	A1	20030320	WO 2002-US25959	20020904
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2460075	A1	20030320	CA 2002-2460075	20020904
US 2003105089	A1	20030605	US 2002-234575	20020904

	EP 1425286	A1	20040609	EP 2002-757132	20020904
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002012477	A	20040824	BR 2002-12477	20020904
	JP 2005527472	T	20050915	JP 2003-526930	20020904
PRAI	US 2001-322100P	P	20010912		
	US 2001-322333P	P	20010912		
	US 2001-322346P	P	20010912		
	US 2002-399530P	P	20020730		
	WO 2002-US25959	W	20020904		

OS MARPAT 138:238006
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI 1-Aminoalkylcyclohexanes as 5-HT3 and neuronal nicotinic receptor antagonists, preparation, pharmaceutical compositions, and therapeutic use thereof
AB Certain 1-aminoalkylcyclohexanes are systematically active 5-HT3 and nicotinic receptor antagonists and are useful in the inhibition of progression of or alleviation of conditions resulting from disturbances of serotoninergic or nicotinergic transmission, giving them a wide range of utility in the treatment of CNS disorders. Also provided are pharmaceutical compns. thereof, a method of making them, and a method of treating conditions which are alleviated by the employment of a 5-HT3 or neuronal nicotinic receptor antagonist.
AN 2001:935560 CAPLUS <<LOGINID::20070215>>
DN 136:48466
TI 1-Aminoalkylcyclohexanes as 5-HT3 and neuronal nicotinic receptor antagonists, preparation, pharmaceutical compositions, and therapeutic use thereof
IN Parsons, Christopher Graham Raphael; Danysz, Wojciech; Gold, Markus; Kalvinsh, Ivars; Kauss, Valerjans; Jirgensons, Aigars
PA Merz & Co. G.m.b.H. & Co., Germany
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001098253	A2	20011227	WO 2001-EP6964	20010619
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	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	TW 593223	B	20040621	TW 2001-90111488	20010514
	ZA 2001004187	A	20021122	ZA 2001-4187	20010522
	CA 2410852	A1	20011227	CA 2001-2410852	20010619
	EP 1303477	A2	20030423	EP 2001-960342	20010619
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
	HU 200301551	A2	20031128	HU 2003-1551	20010619
	JP 2004501130	T	20040115	JP 2002-504209	20010619
	CN 1620419	A	20050525	CN 2001-811413	20010619
	NO 2002006103	A	20030219	NO 2002-6103	20021219
PRAI	US 2000-597102	A	20000620		
	WO 2001-EP6964	W	20010619		

OS MARPAT 136:48466

L43 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
TI The N-methyl-d-aspartate receptor channel blockers memantine, MRZ 2/579 and other amino-alkyl-cyclohexanes antagonize 5-HT3 receptor currents in cultured HEK-293 and N1E-115 cell systems in a non-competitive manner

AB The type 3 serotonin (5-HT3) receptor is a ligand-gated ion channel. In concentration-clamp expts., we investigated the effects of the uncompetitive N-methyl-d-aspartate (NMDA) receptor antagonists memantine, amantadine and MRZ 2/579 on 5-HT receptors stably expressed in HEK-293 cells and on native 5-HT3 receptors in the N1E-115 cell line. All agents antagonized serotonin (10 μM)-induced inward currents with similar potency to that reported for NMDA receptors. This effect was characterized by inducing a pronounced receptor desensitization, and was probably non-competitive and voltage-independent. In contrast, (S)-ketamine was much weaker as an antagonist of 5-HT3 receptors than NMDA receptors. Similar effects on 5-HT3 receptors have been reported previously for a variety of anti-depressants and it is possible that the clin. anti-depressant effects reported for both memantine and amantadine are mediated, at least in part, by antagonistic effects at 5-HT3 receptors.

AN 2001:429280 CAPLUS <<LOGINID::20070215>>
DN 135:251854

TI The N-methyl-d-aspartate receptor channel blockers memantine, MRZ 2/579 and other amino-alkyl-cyclohexanes antagonize 5-HT3 receptor currents in cultured HEK-293 and N1E-115 cell systems in a non-competitive manner

AU Rammes, G.; Rupprecht, R.; Ferrari, U.; Zieglgansberger, W.; Parsons, C. G.
CS Max-Planck-Institute of Psychiatry, Munchen, D-80804, Germany

SO Neuroscience Letters (2001), 306(1-2), 81-84
CODEN: NELED5; ISSN: 0304-3940
PB Elsevier Science Ireland Ltd.
DT Journal
LA English

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> s neramexane/cn
L44           1 NERAMEXANE/CN

=> d l44

L44  ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2007 ACS on STN
RN   219810-59-0  REGISTRY
ED   Entered STN:  18 Feb 1999
CN   Cyclohexanamine, 1,3,3,5,5-pentamethyl- (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   Neramexane
MF   C11 H23 N
CI   COM
SR   CA
LC   STN Files:   ADISINSIGHT, BIOSIS, CA, CAPLUS, CBNB, DDFU, DRUGU, EMBASE,
       IMSRESEARCH, PHAR, TOXCENTER, USAN, USPATFULL
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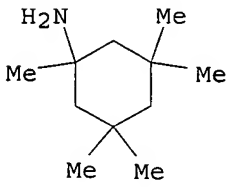


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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

              36 REFERENCES IN FILE CA (1907 TO DATE)
               7 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
              37 REFERENCES IN FILE CAPLUS (1907 TO DATE)


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FULL ESTIMATED COST                                     7.35    1240.99

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                     0.00     -31.98

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FILE COVERS 1907 - 15 Feb 2007  VOL 146 ISS 8
FILE LAST UPDATED: 14 Feb 2007  (20070214/ED)
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(L44 (L) THU/RL)

=> s l46 not py>2002
4909585 PY>2002
L47 3 L46 NOT PY>2002

=> d l47 1-3 ti abs bib

L47 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
TI Synergistic effect of uncompetitive NMDA receptor antagonists and antidepressant drugs in the forced swimming test in rats
AB In spite of intensive research, the problem of treating antidepressant-resistant depressive patients has not yet been solved. The authors previously reported that combined administration of imipramine and the uncompetitive NMDA receptor antagonist amantadine reduced immobility time in the forced swimming test in rats to a much greater extent than either treatment alone. The present paper investigates the possibility of synergistic interactions between three antidepressants (imipramine, venlafaxine, fluoxetine) with three uncompetitive NMDA receptor antagonists (amantadine, memantine and neramexane). Most combinations resulted in synergistic (hyperadditive) antidepressive-like effects in the forced swim test. Most interesting was the observation that fluoxetine, which was inactive when given alone, showed a pos. effect when combined with amantadine (10 and 20 mg/kg), memantine (2.5 and 5 mg/kg) or neramexane (2.5 and 5 mg/kg). The specificity of these observations is supported by control open field studies, which demonstrated no significant increase, or even a decrease in general locomotion after coadministration of the compds. The present results suggest that the combination of traditional antidepressant drugs and NMDA receptor antagonists may produce enhanced antidepressive effects, and this is of particular relevance for antidepressant-resistant patients.
AN 2002:546227 CAPLUS <<LOGINID::20070215>>
DN 138:180507
TI Synergistic effect of uncompetitive NMDA receptor antagonists and antidepressant drugs in the forced swimming test in rats
AU Rogoz, Zofia; Skuza, Grazyna; Maj, Jerzy; Danysz, Wojciech
CS Institute of Pharmacology, Polish Academy of Sciences, Krakow, PL 31-343, Pol.
SO Neuropharmacology (2002), 42(8), 1024-1030
CODEN: NEPHBW; ISSN: 0028-3908
PB Elsevier Science Ltd.
DT Journal
LA English
RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
TI Amino-alkyl-cyclohexanes as a novel class of uncompetitive NMDA receptor antagonists
AB A review. Because of its widespread involvement in the physiol. and pathol. of the CNS, the glutamatergic system has gained considerable attention as a potential target for development of new agents for a number of therapeutic indications. In this respect, the glutamate receptor subtype of the NMDA type has been most intensively studied. The present review describes the rational for developing amino-alkyl-cyclohexanes, as new uncompetitive NMDA receptor antagonists based on our pos. experience with memantine which has been used clin. for many years for the treatment of neurodegenerative dementia. Many amino-alkyl-cyclohexane derivs. have been evaluated in vitro and in animal models, and in turn, one structure, namely neramexane HCl (MRZ 2/579) was selected for further development. This agent shows some similarity to memantine e.g. channel blocking kinetics, voltage dependency, and affinity. Preclin. tests indicated particularly good activity in animal models of alcoholism (self-administration, withdrawal-induced audiogenic seizures etc.) and pain (chronic pain, inhibition of tolerance to the analgesic effects of morphine). It turn, this agent has recently entered phase II of clin. trials in alcoholism after a favorable profile seen in phase I studies.
AN 2002:329206 CAPLUS <<LOGINID::20070215>>
DN 137:241556
TI Amino-alkyl-cyclohexanes as a novel class of uncompetitive NMDA receptor antagonists
AU Danysz, W.; Parsons, C. G.; Jirgensons, A.; Kauss, V.; Tillner, J.
CS Merz+Co., Frankfurt am Main, 60318, Germany
SO Current Pharmaceutical Design (2002), 8(10), 835-843
CODEN: CPDEFP; ISSN: 1381-6128
PB Bentham Science Publishers
DT Journal; General Review
LA English
RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of polyalkylcyclohexane(alkan)amines as NMDA receptor antagonists
AB R1(CH2)n(CR6R7)mNR8R9 [I; R1 = (addnl. 3- and/or 5-alkylated) 1,3,5-trialkylcyclohexyl; R6-R9 = H or alkyl; R8R9 = (CH2)2-5; n+m = 0, 1, or 2] were prepared Thus, 3,3,5,5-tetramethylcyclohexanone was condensed with MeNO2 and the product reduced to give 3,3,5,5,-tetramethylcyclohexanemethanamine hydrochloride. Data for biol. activity of I were given.
AN 2000:381463 CAPLUS <<LOGINID::20070215>>
DN 133:17228
TI Preparation of polyalkylcyclohexane(alkan)amines as NMDA receptor antagonists
IN Gold, Markus; Danysz, Wojciech; Parsons, Christopher Graham Raphael; Kalvinsh, Ivars; Kauss, Valerjans; Jirgensons, Aigars
PA Merz & Co. Gmbh & Co., Germany
SO U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 48,575, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6071966	A	20000606	US 1998-141380	19980827
PRAI	US 1997-885944	B3	19970630		
	US 1998-48575	B2	19980326		

OS MARPAT 133:17228
RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FULL ESTIMATED COST                                        13.45    1254.44

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
                                                           ENTRY    SESSION
CA SUBSCRIBER PRICE                                        -2.34     -34.32

FILE 'USPATFULL' ENTERED AT 10:47:02 ON 15 FEB 2007
CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 13 Feb 2007 (20070213/PD)
FILE LAST UPDATED: 13 Feb 2007 (20070213/ED)
HIGHEST GRANTED PATENT NUMBER: US7178169
HIGHEST APPLICATION PUBLICATION NUMBER: US2007033695
CA INDEXING IS CURRENT THROUGH 13 Feb 2007 (20070213/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 13 Feb 2007 (20070213/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2006
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2006


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L48          18 L44

=> s l48 not py>2003
       1245117 PY>2003
L49           2 L48 NOT PY>2003

=> s l48 not py>2004
        914402 PY>2004
L50           6 L48 NOT PY>2004

=> d l50 1-6 ti

L50  ANSWER 1 OF 6  USPATFULL on STN
TI     Methods of treating age associated memory impairment (AAMI), mild
       cognitive impairment (MCI), and dementias with cell cycle inhibitors

L50  ANSWER 2 OF 6  USPATFULL on STN
TI     Combination therapy using 1-aminocyclohexane derivatives and
       acetylcholinesterase inhibitors

L50  ANSWER 3 OF 6  USPATFULL on STN
TI     Compositions of cyclooxygenase-2 selective inhibitors and NMDA receptor
       antagonists for the treatment or prevention of neuropathic pain

L50  ANSWER 4 OF 6  USPATFULL on STN
TI     NMDA receptor antagonists and their use in inhibiting abnormal
       hyperphosphorylation of microtubule associated protein tau

L50  ANSWER 5 OF 6  USPATFULL on STN
TI     1-amino-alkylcyclohexane NMDA receptor antagonists

L50  ANSWER 6 OF 6  USPATFULL on STN
TI     1-Amino-alkylcyclohexane NMDA receptor antagonists


=> d l50 1-6 ti abs bib

L50  ANSWER 1 OF 6  USPATFULL on STN
TI     Methods of treating age associated memory impairment (AAMI), mild
```

cognitive impairment (MCI), and dementias with cell cycle inhibitors
AB Therapeutic methods for treatment of age associated memory impairment (AAMI), mild cognitive impairment (MCI), Alzheimer's disease (AD), cerebrovascular dementia (CVD), and related neurodegenerative conditions by administering an agent capable of inhibiting cell cycle progression, comprising administering one or more agents that are capable of inhibiting neuronal cell cycle progression at either an early cell cycle phase or generally, either alone or in combination with one or more agents capable of reducing mitogenic stimulation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2004:165981 USPATFULL <<LOGINID::20070215>>
TI Methods of treating age associated memory impairment (AAMI), mild cognitive impairment (MCI), and dementias with cell cycle inhibitors
IN Reisberg, Barry, New York, NY, UNITED STATES
PI US 2004127471 A1 20040701
AI US 2003-664817 A1 20030917 (10)
PRAI US 2002-411282P 20020917 (60)
DT Utility
FS APPLICATION
LREP KLAUBER & JACKSON, 411 HACKENSACK AVENUE, HACKENSACK, NJ, 07601
CLMN Number of Claims: 35
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1448
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L50 ANSWER 2 OF 6 USPATFULL on STN
TI Combination therapy using 1-aminocyclohexane derivatives and acetylcholinesterase inhibitors
AB The invention relates to a novel drug combination therapy useful in the treatment of dementia comprising administering an 1-aminocyclohexane derivative such as memantine or neramexane and an acetylcholinesterase inhibitor (AChEI) such as galantamine, tacrine, donepezil, or rivastigmine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2004:114812 USPATFULL <<LOGINID::20070215>>
TI Combination therapy using 1-aminocyclohexane derivatives and acetylcholinesterase inhibitors
IN Moebius, Hans-Joerg, Frankfurt Am Main, GERMANY, FEDERAL REPUBLIC OF
PI US 2004087658 A1 20040506
AI US 2003-691895 A1 20031023 (10)
PRAI US 2002-420918P 20021024 (60)
DT Utility
FS APPLICATION
LREP THE FIRM OF HUESCHEN AND SAGE, 500 COLUMBIA PLAZA, 350 EAST MICHIGAN AVENUE, KALAMAZOO, MI, 49007
CLMN Number of Claims: 36
ECL Exemplary Claim: 1
DRWN 3 Drawing Page(s)
LN.CNT 3764
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L50 ANSWER 3 OF 6 USPATFULL on STN
TI Compositions of cyclooxygenase-2 selective inhibitors and NMDA receptor antagonists for the treatment or prevention of neuropathic pain
AB The present invention provides compositions and methods to treat or prevent neuropathic pain in a subject using a combination of a COX-2 selective inhibitor and a NMDA receptor antagonist.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2004:108141 USPATFULL <<LOGINID::20070215>>
TI Compositions of cyclooxygenase-2 selective inhibitors and NMDA receptor

antagonists for the treatment or prevention of neuropathic pain
IN Cheung, Raymond Y., Bridgewater, NJ, UNITED STATES
PA Pharmacia Corporation (U.S. corporation)
PI US 2004082543 A1 20040429
AI US 2002-282660 A1 20021029 (10)
DT Utility
FS APPLICATION
LREP Kathryn J. Doty, SENNIGER, POWERS, LEAVITT & ROEDEL, One Metropolitan Square, 16th Floor, St. Louis, MO, 63103
CLMN Number of Claims: 18
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 3037
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L50 ANSWER 4 OF 6 USPATFULL on STN
TI NMDA receptor antagonists and their use in inhibiting abnormal hyperphosphorylation of microtubule associated protein tau
AB Aminocyclohexane and aminoalkylcyclohexane compounds, which are systemic-ally-active as NMDA receptor antagonists, are effective in inhibiting abnormal hyperphosphorylation of microtubule associated protein tau, method of treating disorders resulting from or associated with abnormal hyperphosphorylation of microtubule associated protein tau, and pharmaceutical compositions comprising the same.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2004:25276 USPATFULL <<LOGINID::20070215>>
TI NMDA receptor antagonists and their use in inhibiting abnormal hyperphosphorylation of microtubule associated protein tau
IN Iqbal, Khalid, Staten Island, NY, UNITED STATES
Grundke-Iqbal, Inge, Staten Island, NY, UNITED STATES
PI US 2004019118 A1 20040129
AI US 2003-622163 A1 20030717 (10)
PRAI US 2002-397434P 20020719 (60)
DT Utility
FS APPLICATION
LREP THE FIRM OF HUESCHEN AND SAGE, 500 COLUMBIA PLAZA, 350 EAST MICHIGAN AVENUE, KALAMAZOO, MI, 49007
CLMN Number of Claims: 16
ECL Exemplary Claim: 1
DRWN 11 Drawing Page(s)
LN.CNT 1948
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L50 ANSWER 5 OF 6 USPATFULL on STN
TI 1-amino-alkylcyclohexane NMDA receptor antagonists
AB Certain 1-aminoalkylcyclohexanes are systemically-active uncompetitive NMDA receptor antagonists having rapid blocking/unblocking kinetics and strong voltage-dependency and are therefore useful in the alleviation of conditions resulting from disturbances of glutamatergic transmission giving them a wide range of utility in the treatment of CNS disorders involving the same, as well as in non-NMDA indications, due to their immunomodulatory, antimalarial, anti-Borna virus, and anti-Hepatitis C activities and utilities. Pharmaceutical compositions thereof and a method-of-treating conditions which are alleviated by the employment of an NMDA receptor antagonist, as well as the aforementioned non-NMDA indications, and a method for the preparation of the active 1-aminoalkylcyclohexane compounds involved.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2000:70895 USPATFULL <<LOGINID::20070215>>
TI 1-amino-alkylcyclohexane NMDA receptor antagonists
IN Gold, Markus, Nauheim, Germany, Federal Republic of
Danysz, Wojciech, Nidderau, Germany, Federal Republic of

Parsons, Christopher Graham Raphael, Praunheim, Germany, Federal Republic of
Kalvinsh, Ivars, Salaspils, Latvia
Kauss, Valerjans, Riga, Latvia
Jirgensons, Aigars, Riga, Latvia
PA Merz + Co. GmbH & Co., Frankfurt am Main, Germany, Federal Republic of (non-U.S. corporation)
PI US 6071966 20000606
AI US 1998-141380 19980827 (9)
RLI Continuation-in-part of Ser. No. US 1998-48575, filed on 26 Mar 1998, now abandoned which is a division of Ser. No. US 1997-855944, filed on 30 Jun 1997, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Barts, Samuel
LREP The Firm of Gordon W. Hueschen
CLMN Number of Claims: 12
ECL Exemplary Claim: 1
DRWN 2 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 1956
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L50 ANSWER 6 OF 6 USPATFULL on STN
TI 1-Amino-alkylcyclohexane NMDA receptor antagonists
AB Certain 1-aminoalkylcyclohexanes are systemically-active uncompetitive NMDA receptor antagonists having rapid blocking/unblocking kinetics and strong voltage-dependency and are therefore useful in the alleviation of conditions resulting from disturbances of glutamatergic transmission giving them a wide range of utility in the treatment of CNS disorders involving the same, as well as in non-NMDA indications, due to their immunomodulatory, antimalarial, anti-Borna virus, and anti-Hepatitis C activities and utilities. Pharmaceutical compositions thereof and a method-of-treating conditions which are alleviated by the employment of an NMDA receptor antagonist, as well as the aforementioned non-NMDA indications, and a method for the preparation of the active 1-aminoalkylcyclohexane compounds involved.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2000:28030 USPATFULL <<LOGINID::20070215>>
TI 1-Amino-alkylcyclohexane NMDA receptor antagonists
IN Gold, Markus, Nauheim, Germany, Federal Republic of
Danysz, Wojciech, Nidderau, Germany, Federal Republic of
Parsons, Christopher Graham Raphael, Praunheim, Germany, Federal Republic of
Kalvinsh, Ivars, Salaspils, Latvia
Kauss, Valerjans, Riga, Latvia
Jirgensons, Aigars, Riga, Latvia
PA Merz + Co. GmbH & Co., Frankfurt am Man, Germany, Federal Republic of (non-U.S. corporation)
PI US 6034134 20000307
AI US 1998-141381 19980827 (9)
RLI Continuation-in-part of Ser. No. US 1997-885944, filed on 30 Jun 1997, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Barts, Samuel
LREP The Firm of Gordon W. Hueschen
CLMN Number of Claims: 22
ECL Exemplary Claim: 1
DRWN 2 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 1789
CAS INDEXING IS AVAILABLE FOR THIS PATENT.